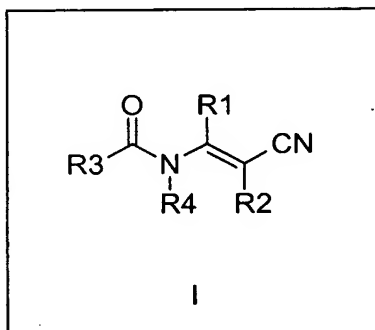


CLAIMS

What is claimed is:

1. A compound comprising Formula I



wherein:

R1

is a branched chain C3 to C8 alkyl, C3 to C8 cycloalkyl, C4 to C8 alkyl-substituted alkyl, bicycloalkyl, 1-adamantyl, polyhaloalkyl, trialkylsilyl, unsubstituted phenyl, or substituted phenyl;

R2 and R3

are each independently of the other unsubstituted or substituted aromatic rings, chosen from phenyl, pyridyl, pyrimidinyl, furyl, thiophenyl, pyrazinyl, pyrrolyl, pyrazolyl, 1,2,4-triazolyl, naphthyl, fluorenonyl, xanthenyl, 4-oxo-1,4-dihydro-(1,8)naphthyridinyl, thiazolyl, isothiazolyl, 1,3,4-thiadiazolyl, benzo-1,2,3-thiadiazolyl, oxazolyl, imidazolyl, quinolinyl, or isoquinolinyl, where a substituent on the rings is one or more chosen independently from hydrogen, C1 to C4

alkyl, alkoxy, alkoxyalkyl, hydroxy, amino, alkylamino, dialkylamino, acylamino, halo, haloalkyl, hydroxyalkyl, dihydroxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, unsubstituted or substituted alkylphenyl, unsubstituted or substituted phenyl, unsubstituted or substituted phenoxy, nitro, cyano, alkylthio, alkylsulfonyl, aminoalkyl, carboxyalkyl, or sulfonylalkyl;

and

R4

is hydrogen, alkylthio, alkylthioalkyl, alkyloxyalkyl, acyloxyalkyl, alkyl, acyl, trialkylsilyl, or is taken together with R3 and the O in Formula I to form a lactone ring;

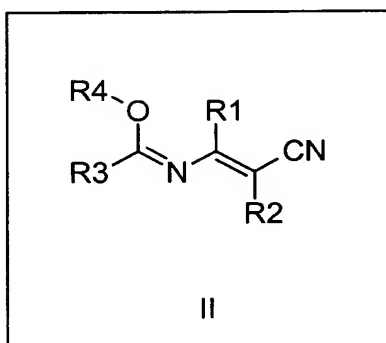
and the salts, stereoisomers, and tautomers thereof.

2. The compound of claim 1, wherein R1 is *tert*-butyl.
3. The compound of claim 1, wherein at least one of R2 and R3 is substituted with a substituent forming a cyclic structure on adjacent atoms of the aromatic ring.
4. The compound of claim 3, wherein the substituent is selected from the group consisting of 1,2-methylenedioxy and 1,2-difluoromethylenedioxy.
5. The compound of claim 1, wherein R2 is selected from the group consisting of phenyl, 3,5-dimethylphenyl, 2,4-dimethylphenyl, 3-methylphenyl, 4-methylphenyl, 2-methylphenyl, and 3,4-methoxydioxypheyl.

6. The compound of claim 1, wherein R3 is selected from the group consisting of phenyl, 3-pyridyl, 3-methoxy-2-methylphenyl, 3-ethoxy-2-methylphenyl, 3-methoxy-2-ethylphenyl, 4-ethylphenyl, 2,6-difluorophenyl, 2,3-dimethylphenyl, 3-chloro-2-methylphenyl, and 3-bromo-2-methylphenyl.

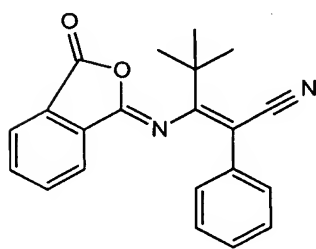
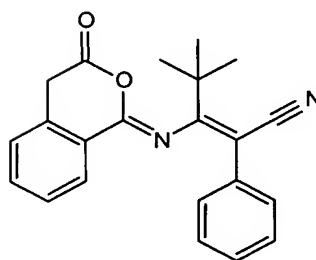
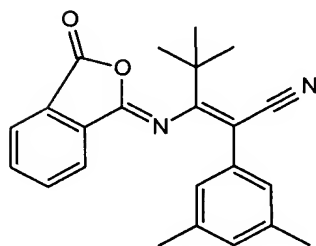
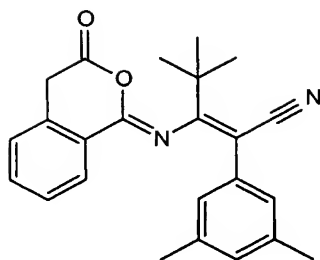
7. The compound of claim 1, wherein halo is selected from the group consisting of fluoro, chloro, bromo, iodo, and combinations thereof.

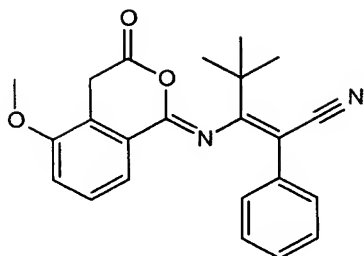
8. The compound of claim I, wherein Formula I is in its tautomeric form as Formula II:



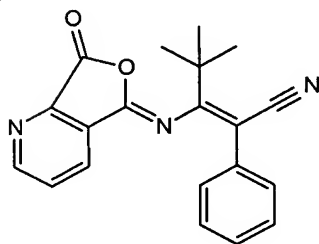
9. The tautomeric compound of claim 8, wherein R3 and R4 and O together form a cyclic structure resulting in a lactone.

10. The compound of claim 9, wherein the lactone is selected from the group consisting of:

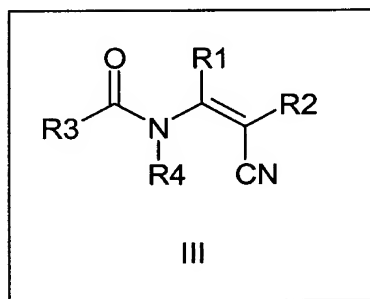




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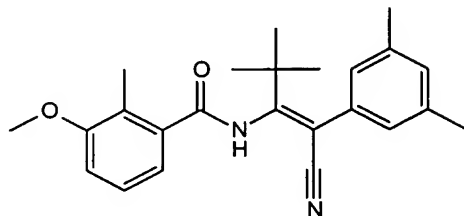


11. The compound of claim I, wherein Formula I is in its isomeric form as Formula III:

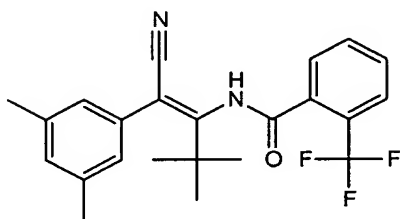


12. The isomeric compound of claim 11, wherein R1 is *tert*-butyl, R2 is 3,5-dimethylphenyl, and R3 is 2-trifluoromethylphenyl or 2-methyl-3-methoxyphenyl.

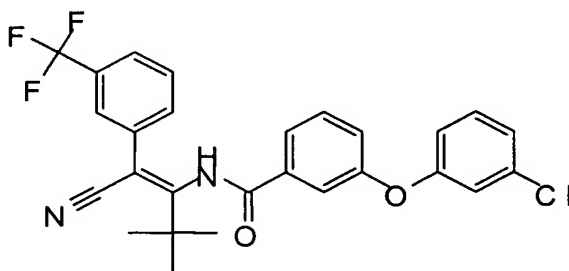
13. The isomeric compound of claim 12, wherein the compound is selected from the group consisting of:

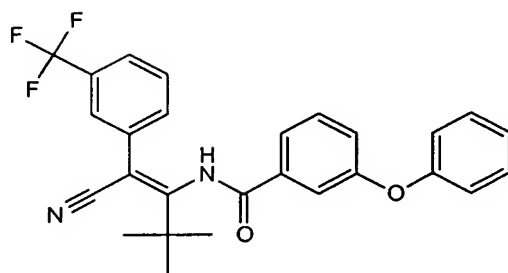
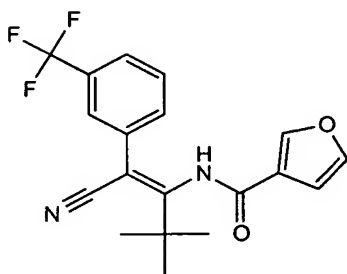
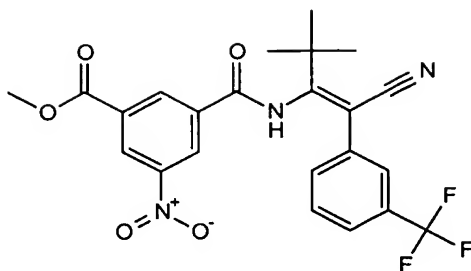


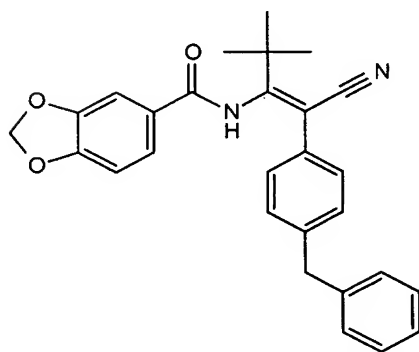
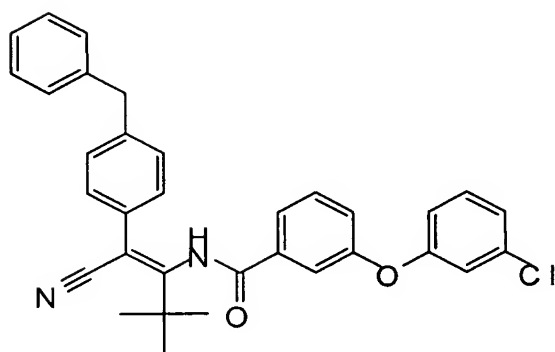
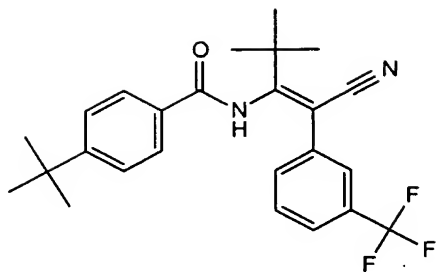
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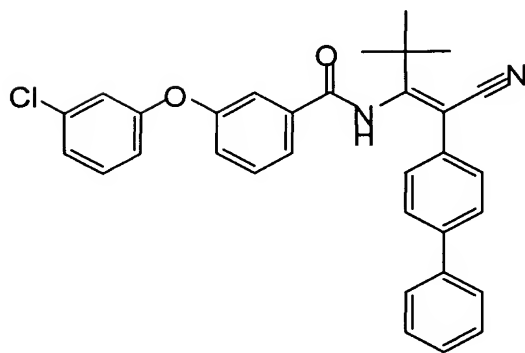
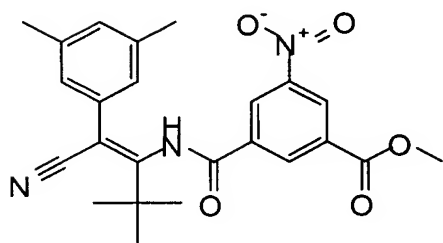
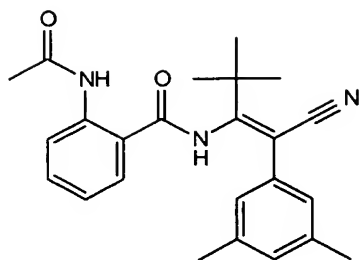


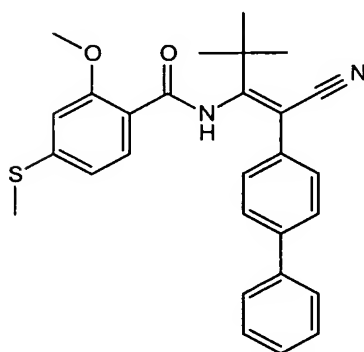
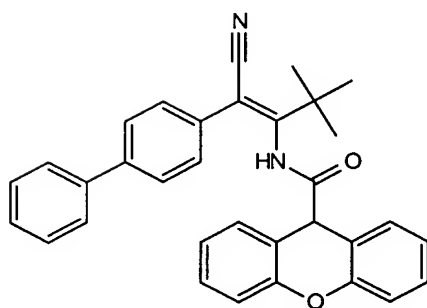
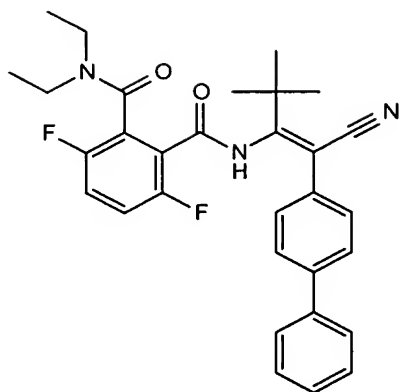
14. The compound of claim 1, wherein the compound is selected from the group consisting of:

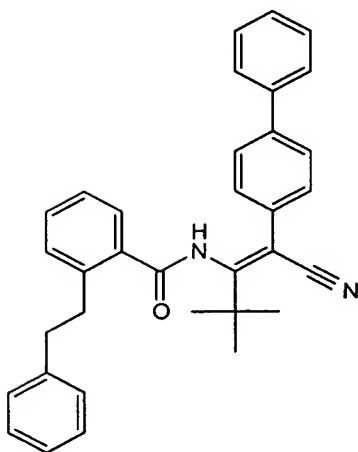
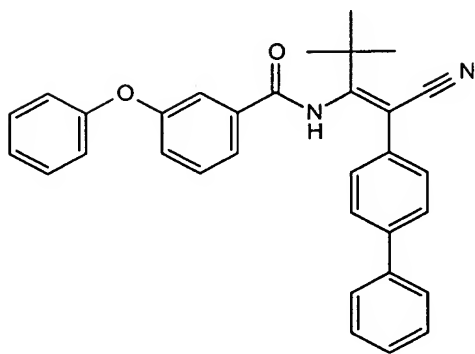
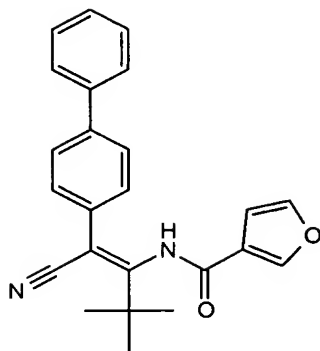


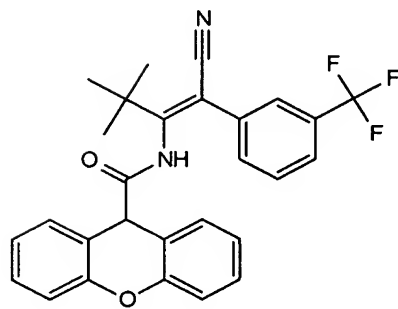
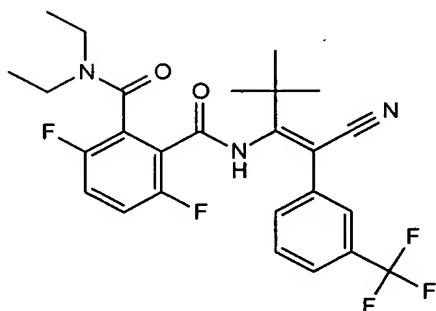
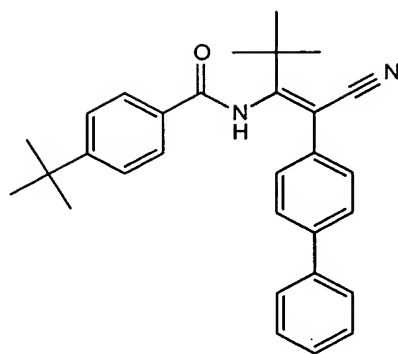


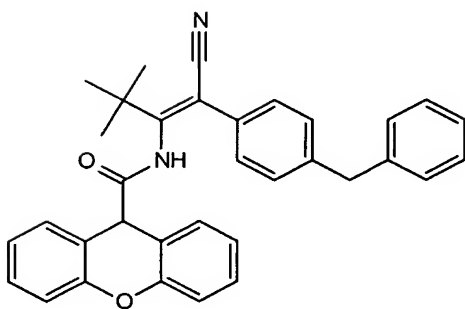
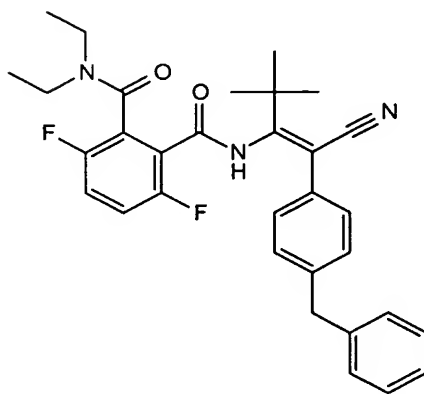
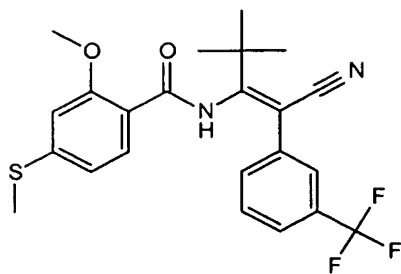


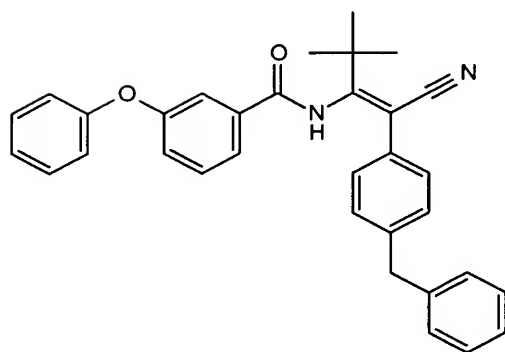
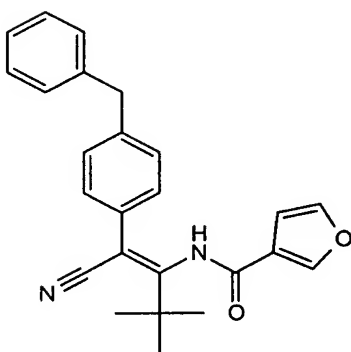
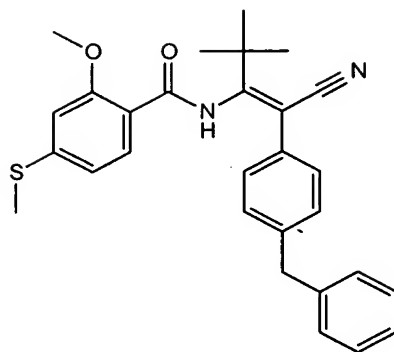


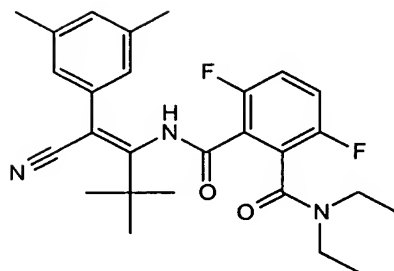
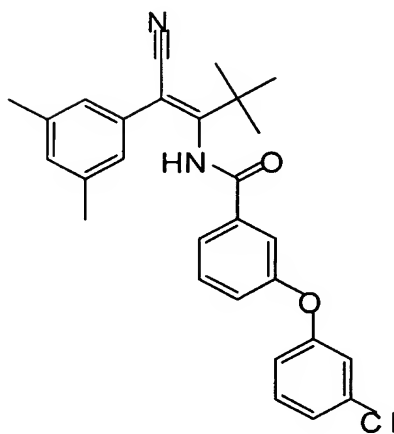
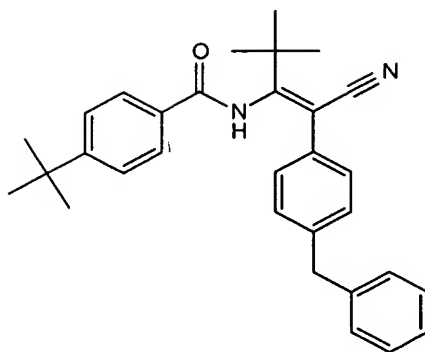


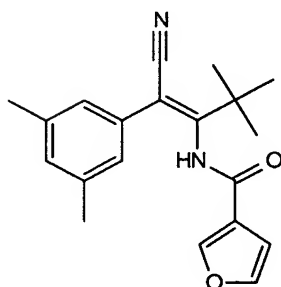
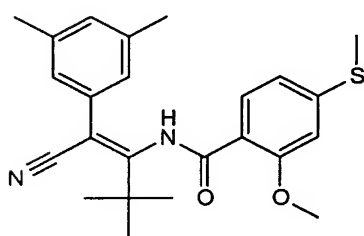
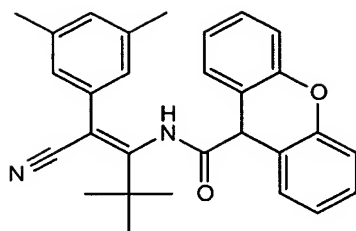


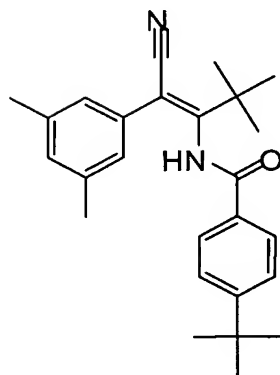
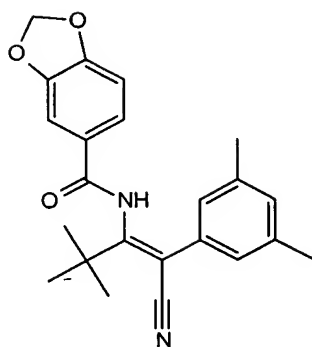
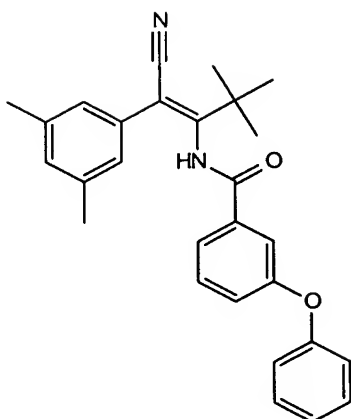


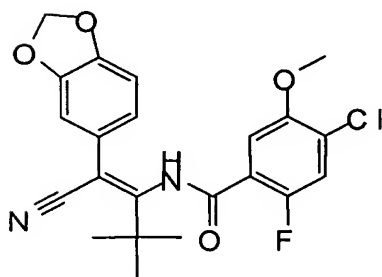
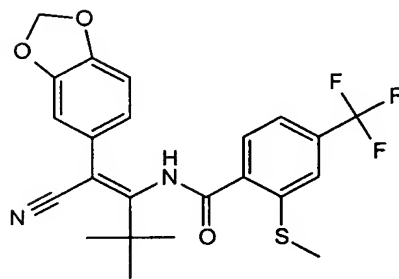
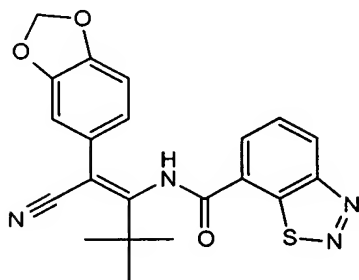
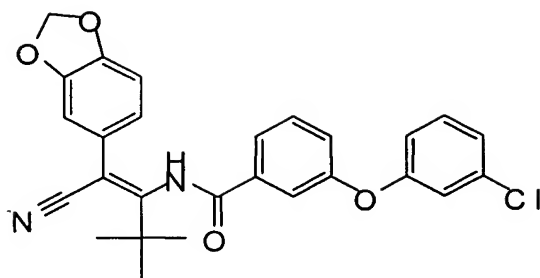


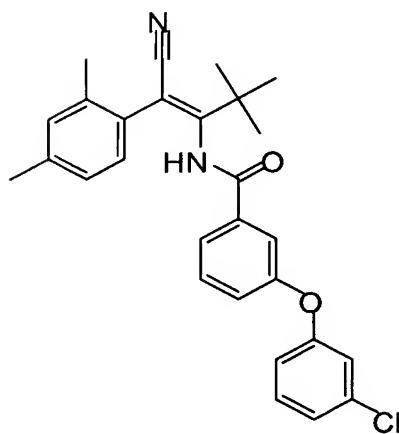
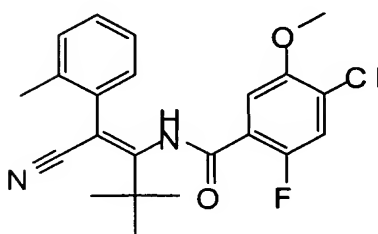
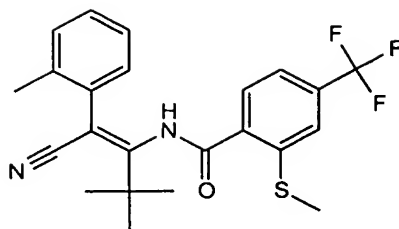


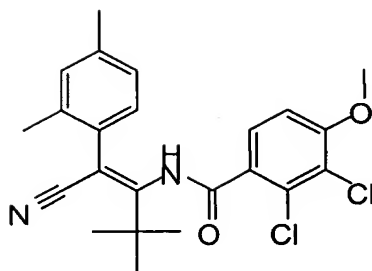
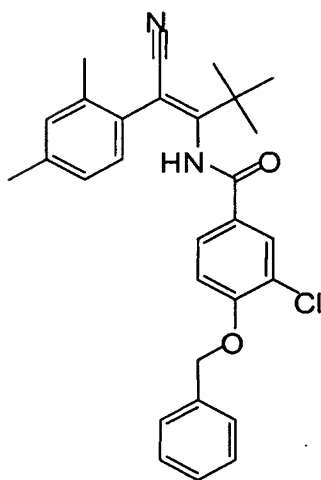
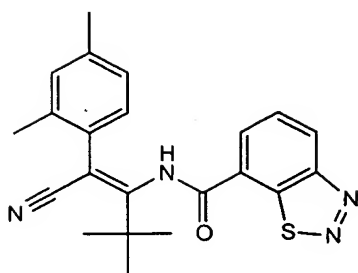


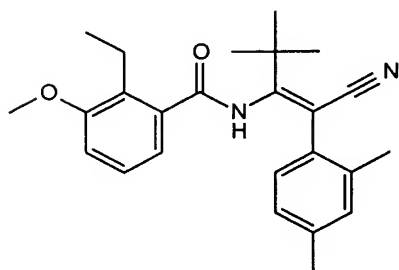
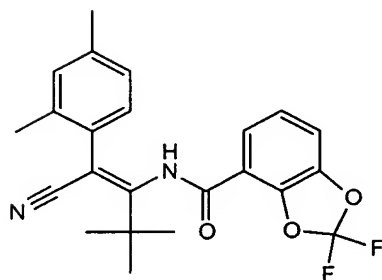
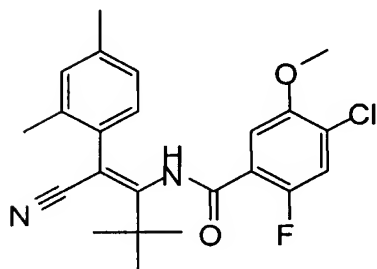
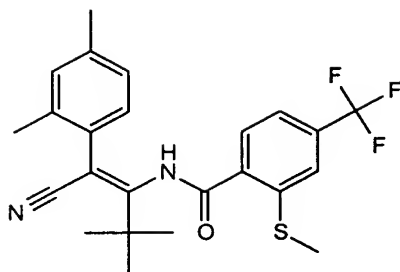


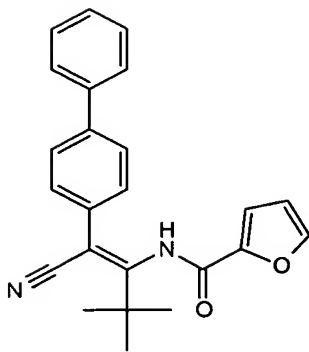
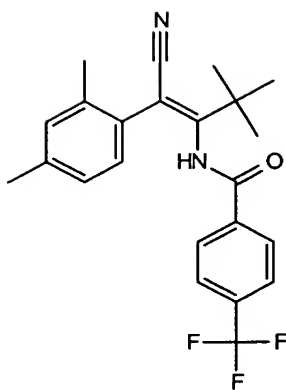


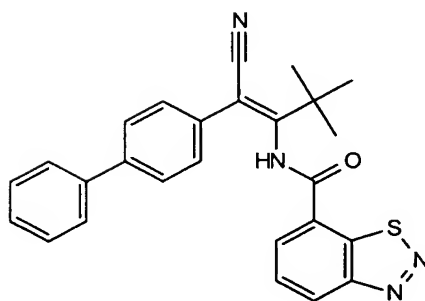
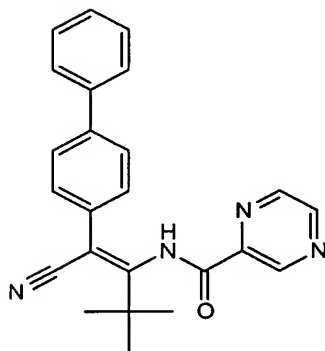
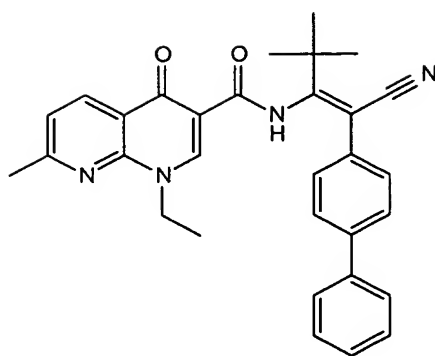


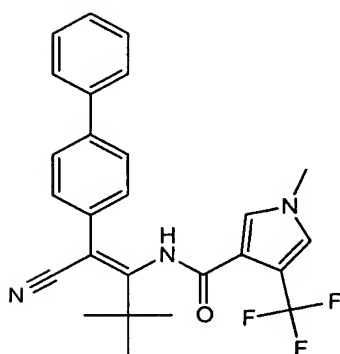
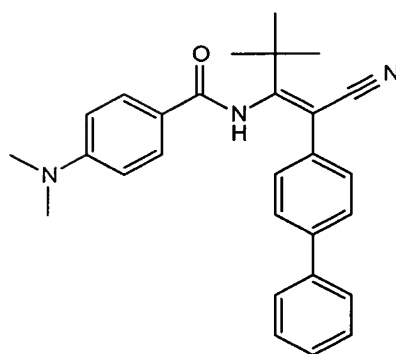
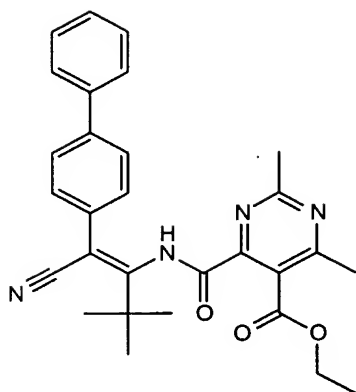


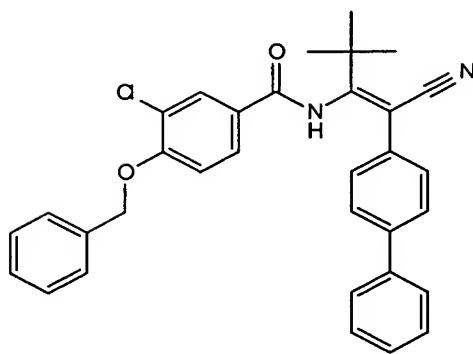
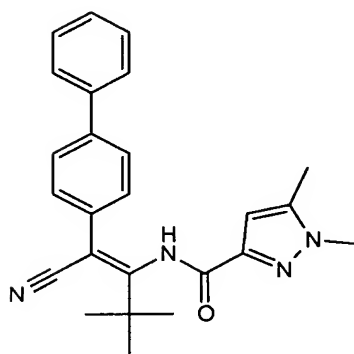
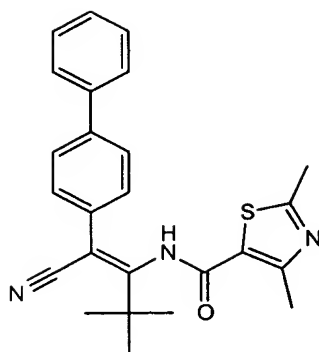


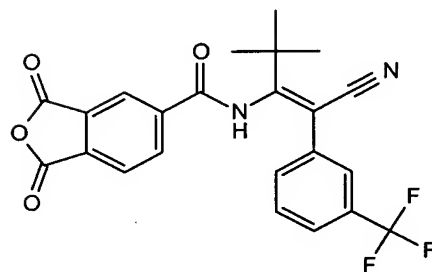
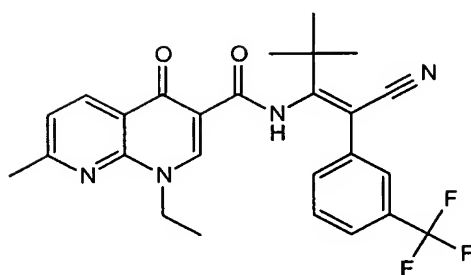
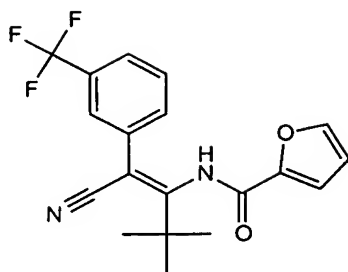


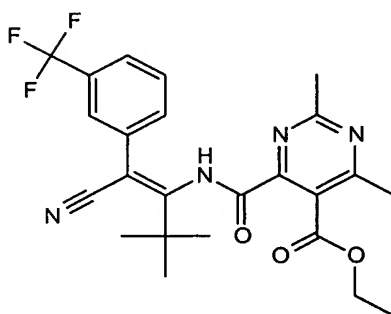
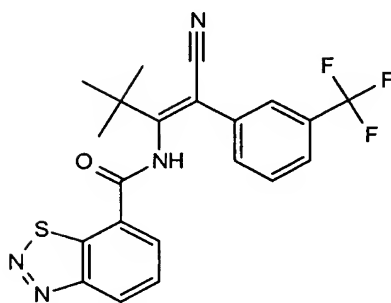
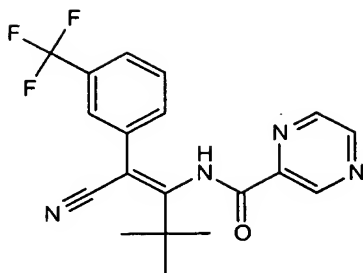


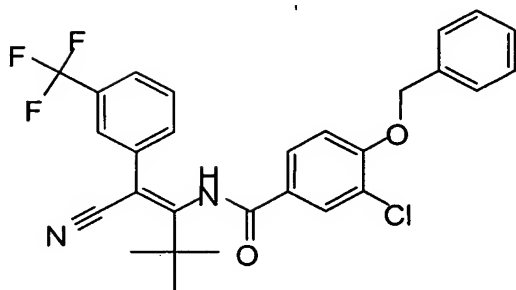
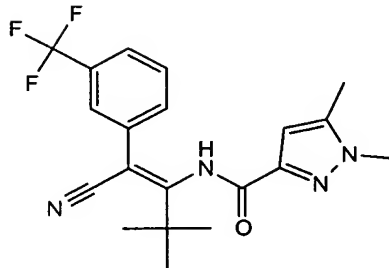
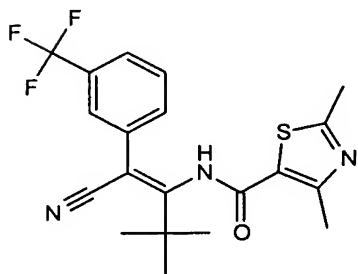
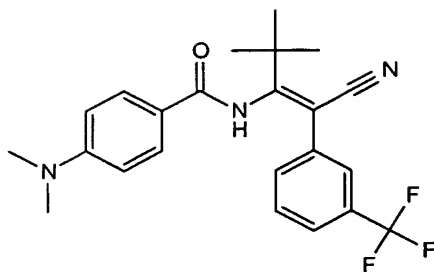


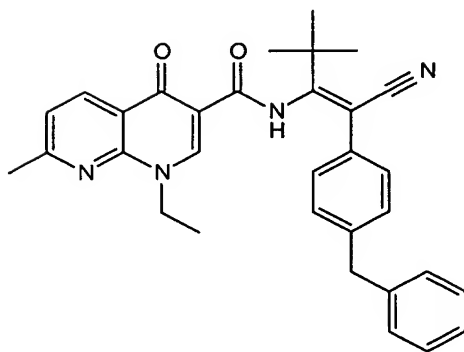
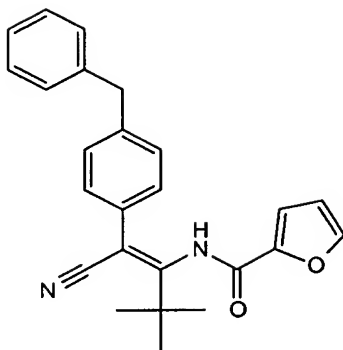


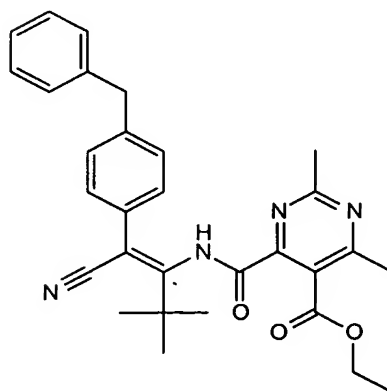
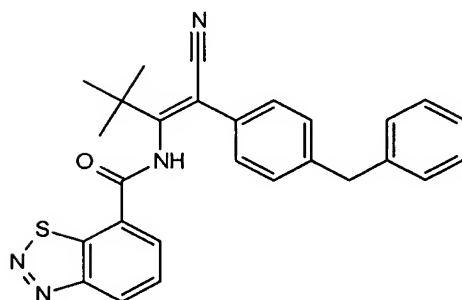
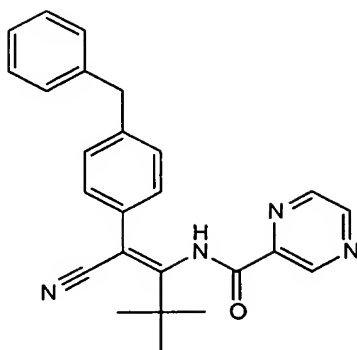


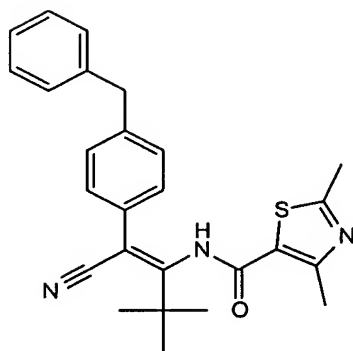
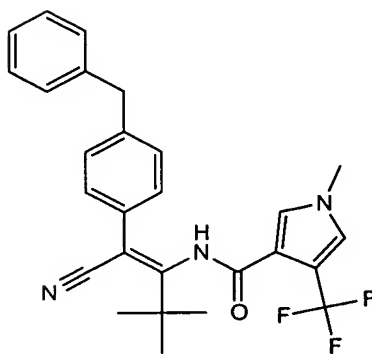
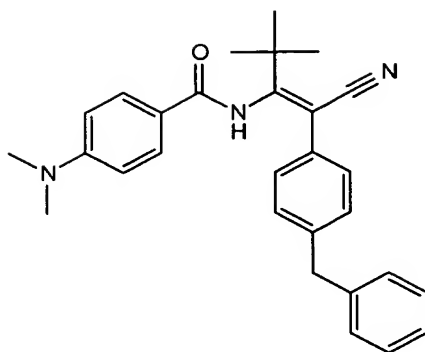


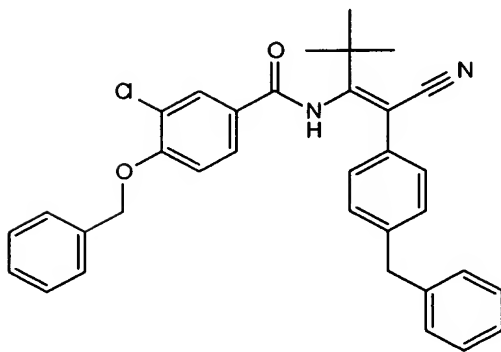
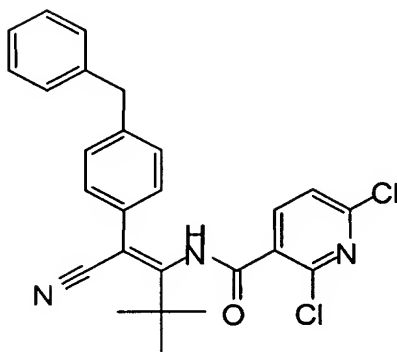
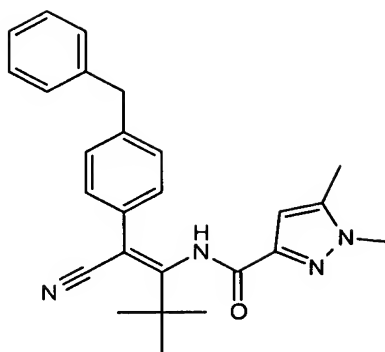


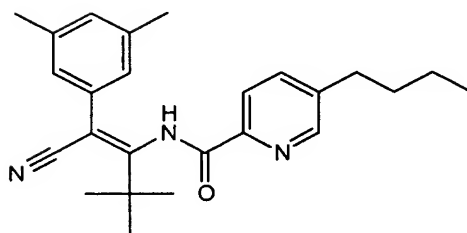
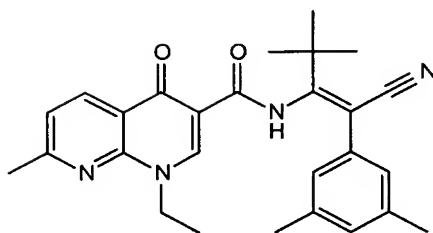
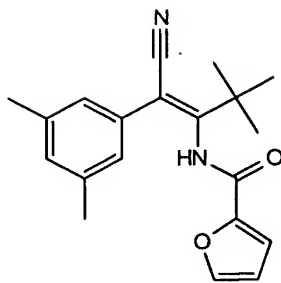


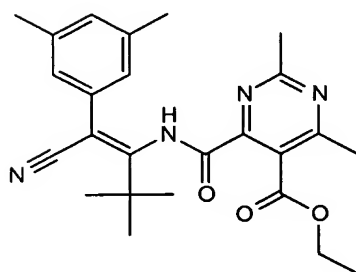
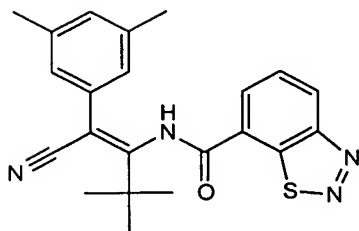
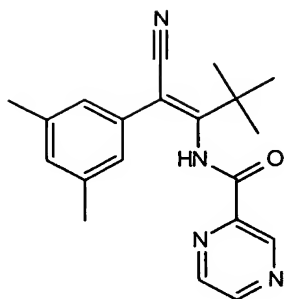


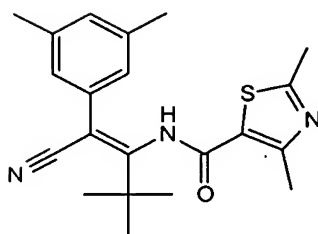
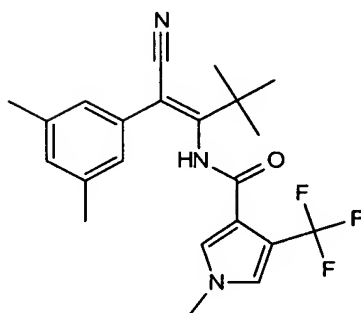
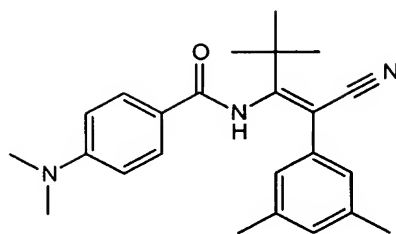


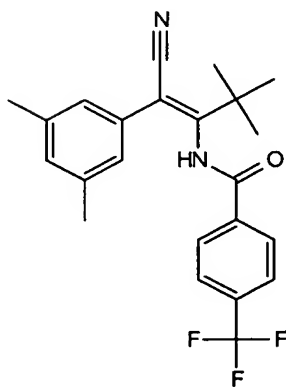
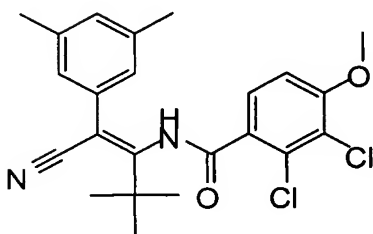
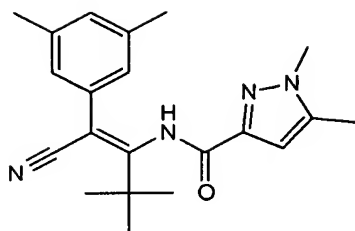


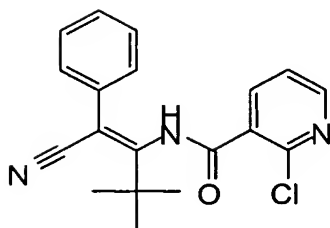
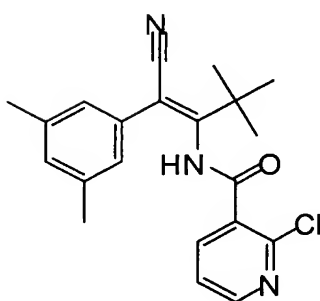
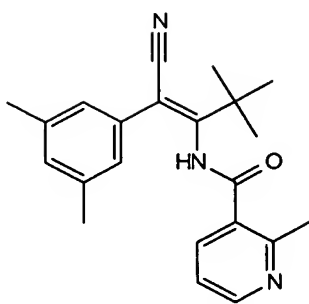


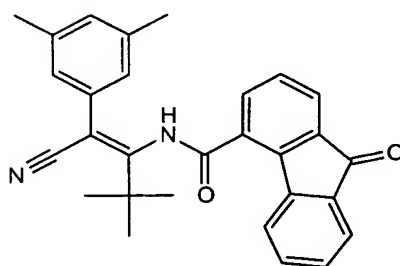
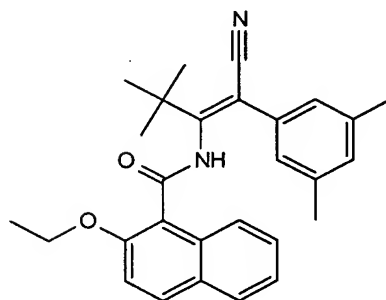
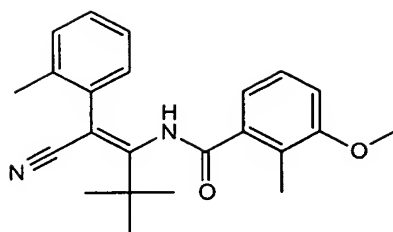


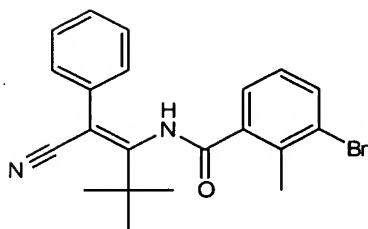
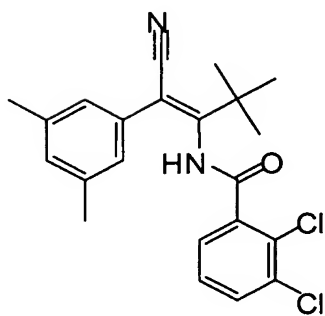
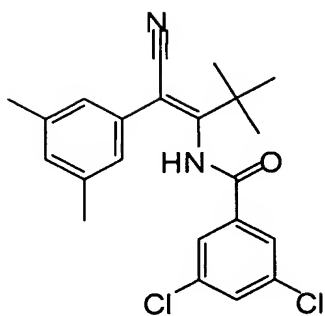


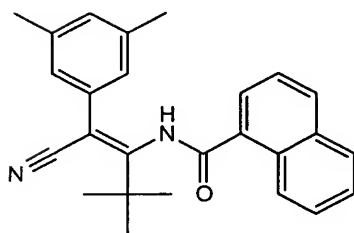
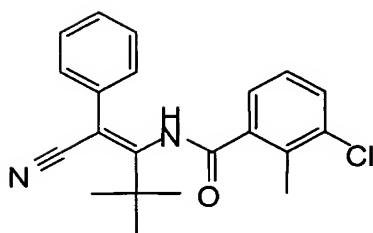
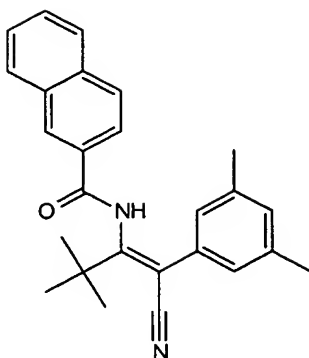


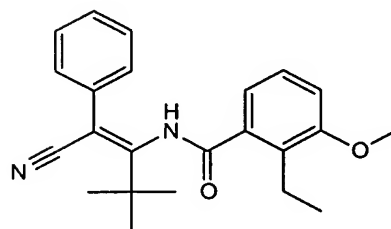
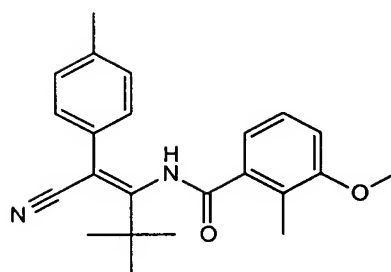
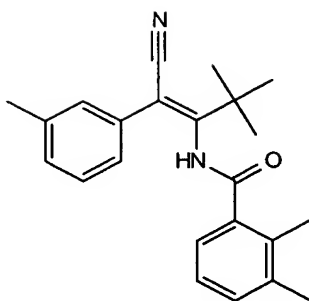


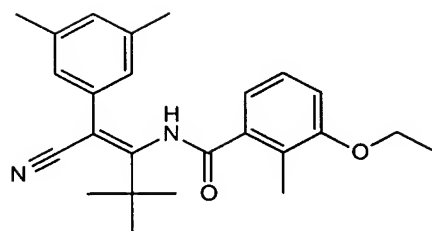
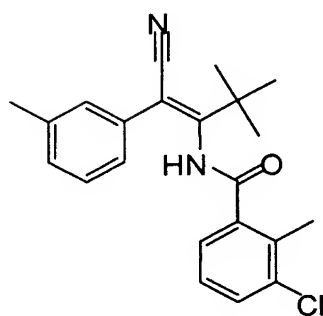
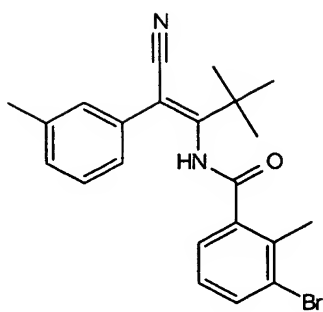


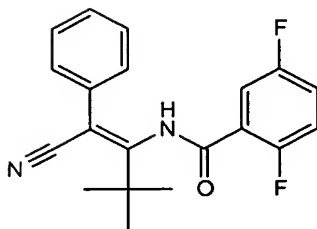
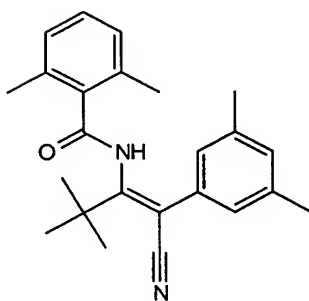
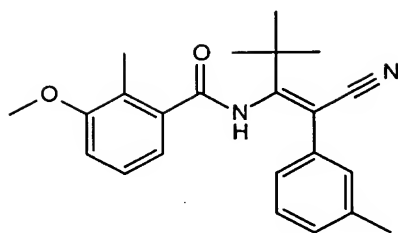


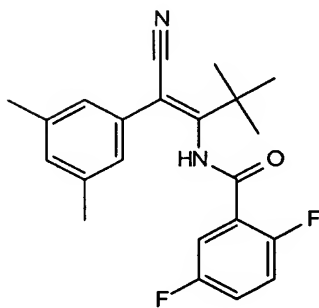
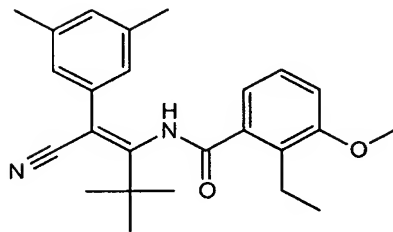
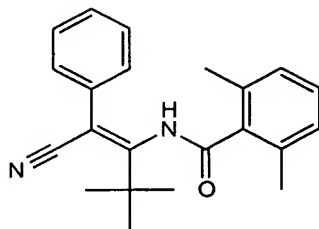


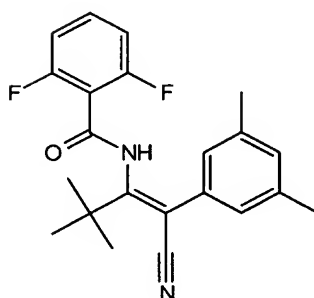
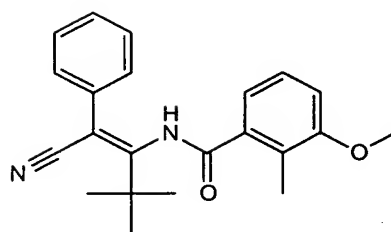
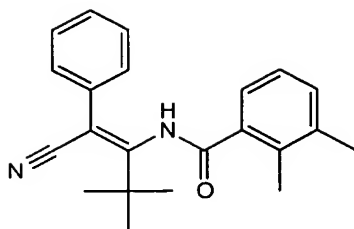


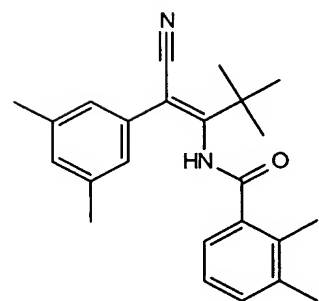
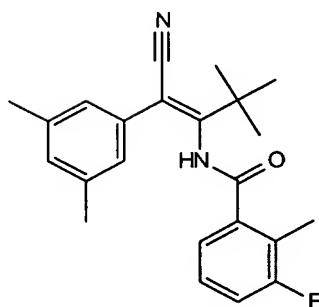
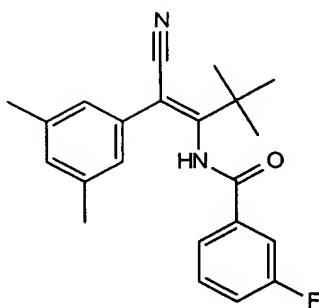


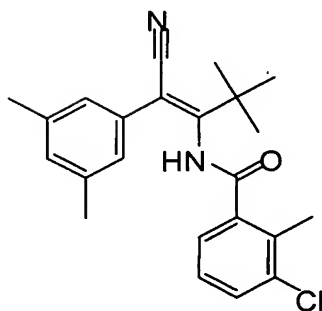
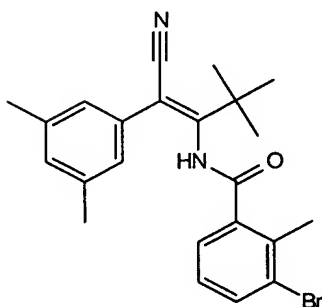
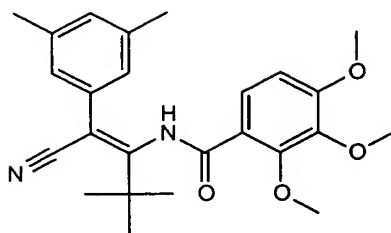


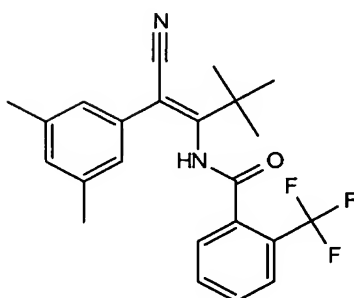
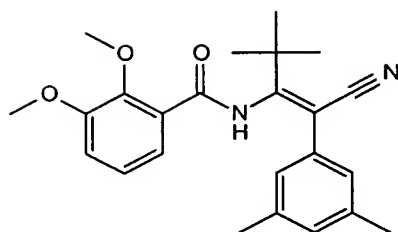
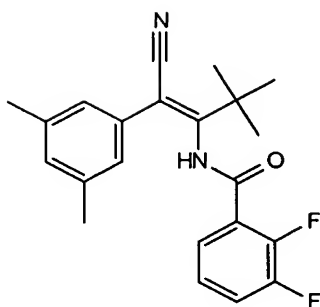


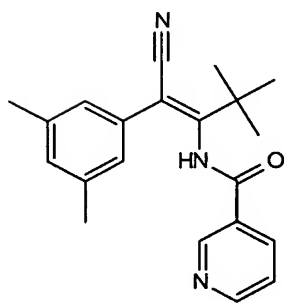
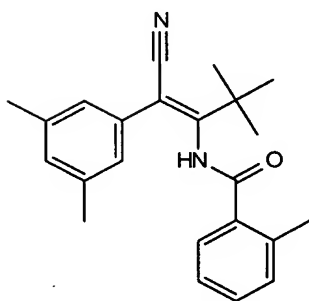
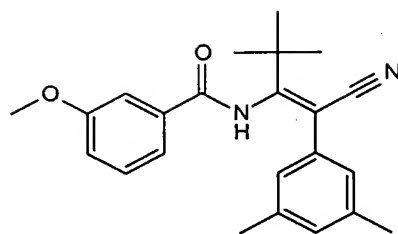


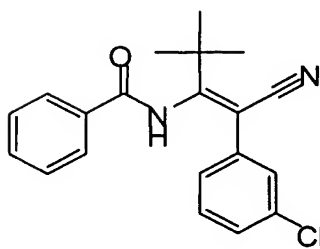
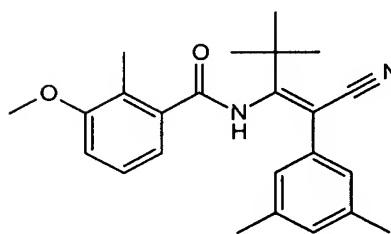
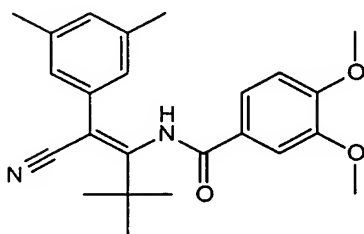
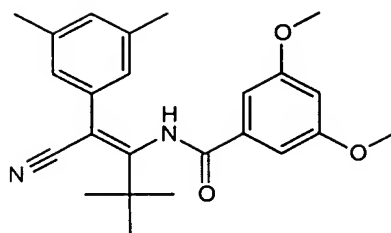


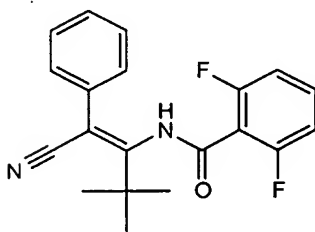
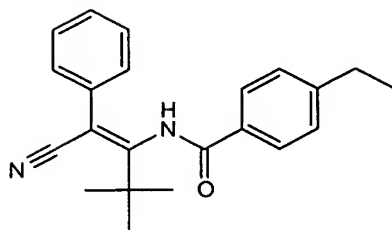
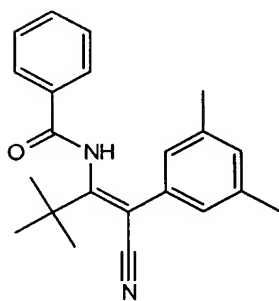
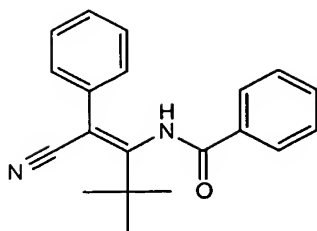






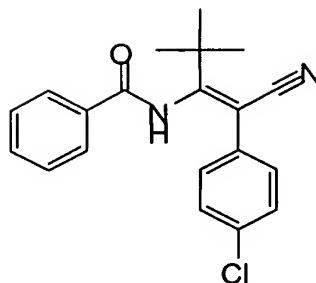




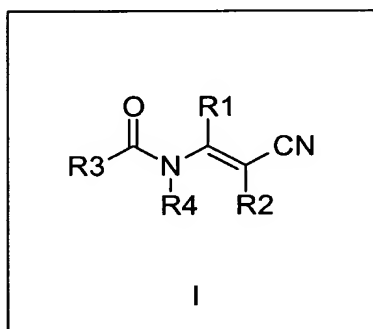


-161-

and



15. A method of controlling gene expression comprising contacting an ecdysone receptor gene switch with a compound of Formula I



wherein:

R₁

is a branched chain C3 to C8 alkyl, C3 to C8 cycloalkyl, C4 to C8 alkyl-substituted alkyl, bicycloalkyl, 1-adamantyl, polyhaloalkyl, trialkylsilyl, unsubstituted phenyl, or substituted phenyl;

R2 and R3

are each independently of the other unsubstituted or substituted aromatic rings, chosen from phenyl, pyridyl, pyrimidinyl, furyl, thiophenyl, pyrazinyl, pyrrolyl, pyrazolyl, 1,2,4-triazolyl, naphthyl, fluorenonyl, xanthenyl, 4-oxo-1,4-dihydro-(1,8)naphthyridinyl, thiazolyl, isothiazolyl, 1,3,4-thiadiazolyl, benzo-1,2,3-thiadiazolyl, oxazolyl, imidazolyl, quinolinyl, or isoquinolinyl, where a substituent on the rings is one or more chosen independently from hydrogen, C1 to C4 alkyl, alkoxy, alkoxyalkyl, hydroxy, amino, alkylamino, dialkylamino, acylamino, halo, haloalkyl, hydroxyalkyl, dihydroxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, unsubstituted or substituted alkylphenyl, unsubstituted or substituted phenyl, unsubstituted or substituted phenoxy, nitro, cyano, alkylthio, alkylsulfonyl, aminoalkyl, carboxyalkyl, or sulfonylalkyl;

and

R4

is hydrogen, alkylthio, alkylthioalkyl, alkyloxyalkyl, acyloxyalkyl, alkyl, acyl, trialkylsilyl, or is taken together with R3 and the O in Formula I to form a lactone ring;

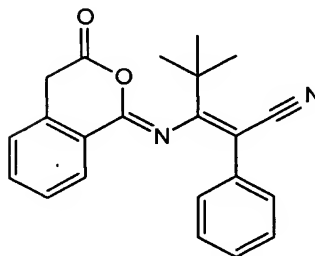
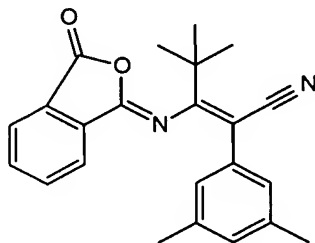
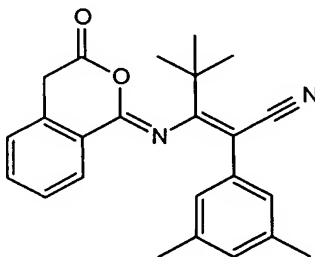
and the salts, stereoisomers, and tautomers thereof.

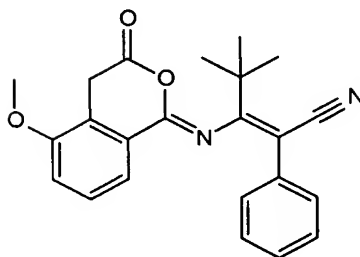
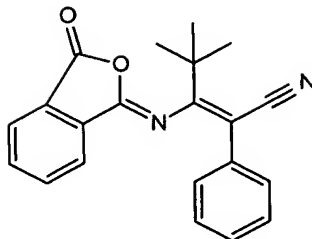
- R4OC(=N)C(R1)=C(R2)C#N

11

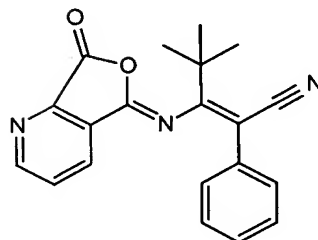
23. The method of claim 22, wherein in the tautomeric form, R3 and R4 and O together form a cyclic structure resulting in a lactone.

24. The method of claim 23, wherein the lactone is selected from the group consisting of:

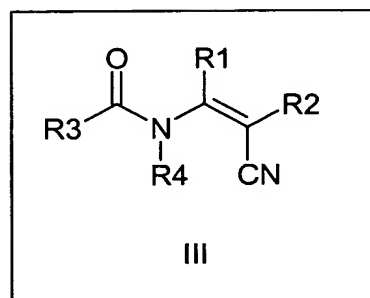




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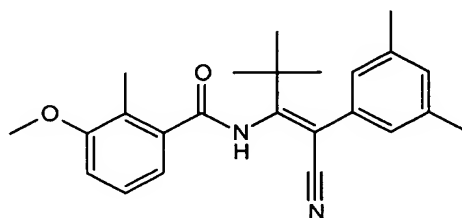


25. The method of claim 15, wherein Formula I is in its isomeric form as Formula III:

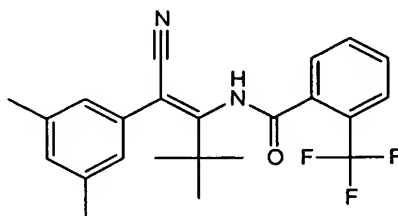


26. The isomeric method of claim 25, wherein R1 is *tert*-butyl, R2 is 3,5-dimethylphenyl, and R3 is 2-trifluoromethylphenyl or 2-methyl-3-methoxyphenyl.

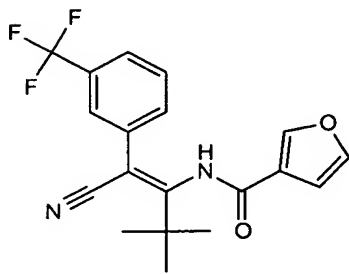
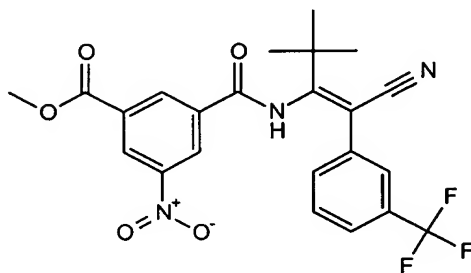
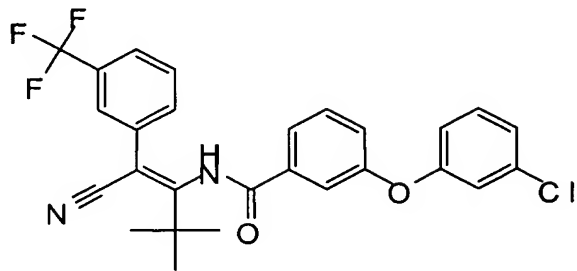
27. The isomeric method of claim 26, wherein the compound is selected from the group consisting of:

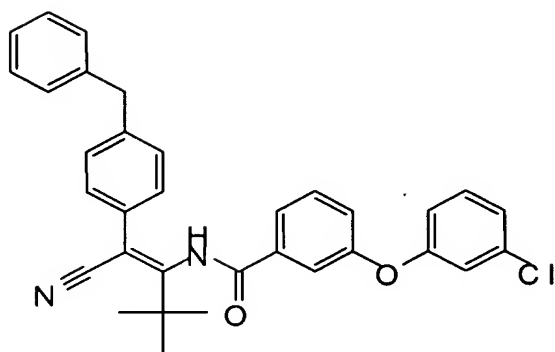
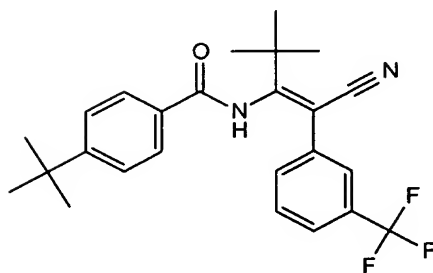
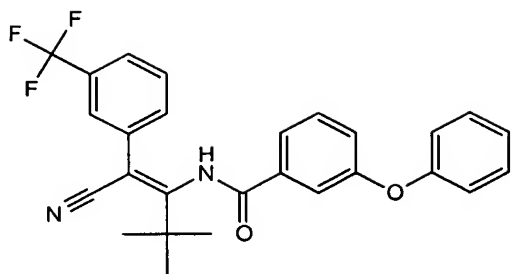


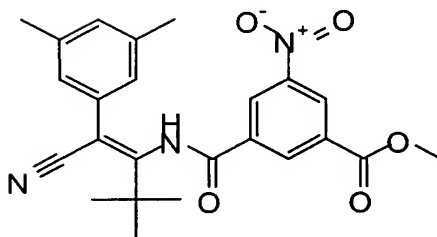
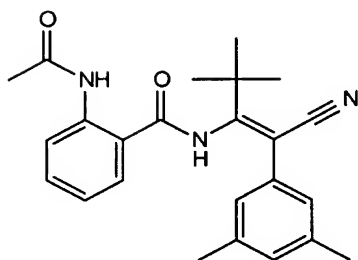
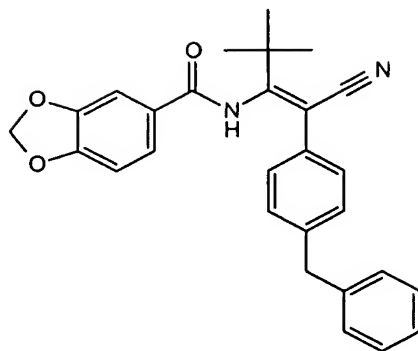
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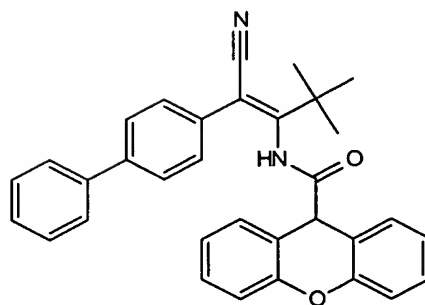
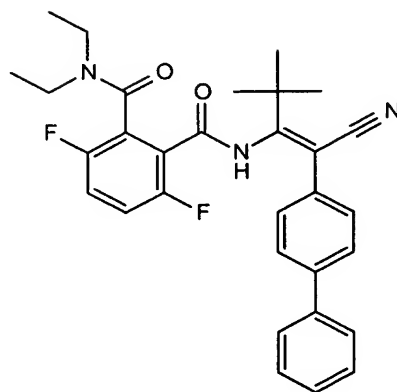
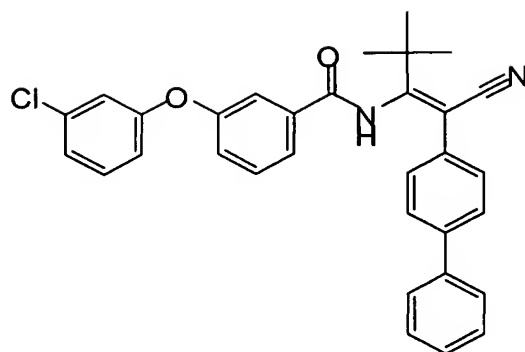


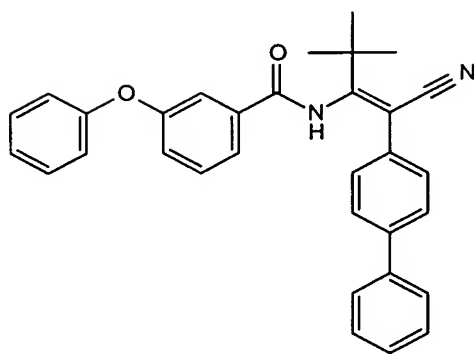
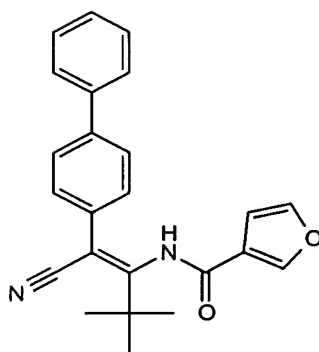
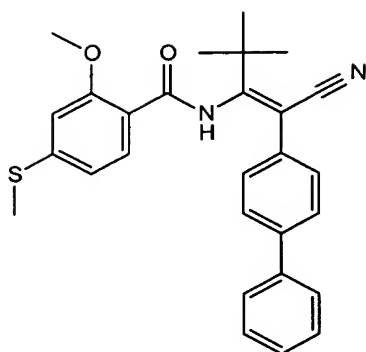
28. The method of claim 15, wherein the compound is selected from the group consisting of:

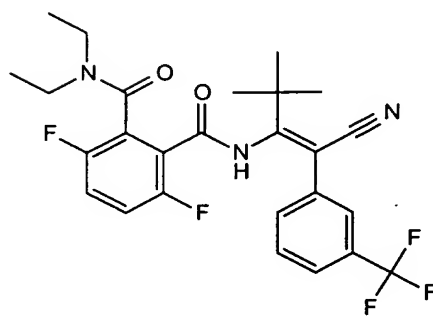
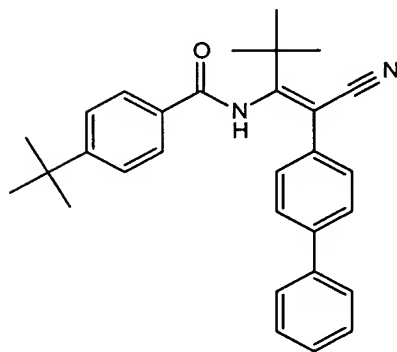
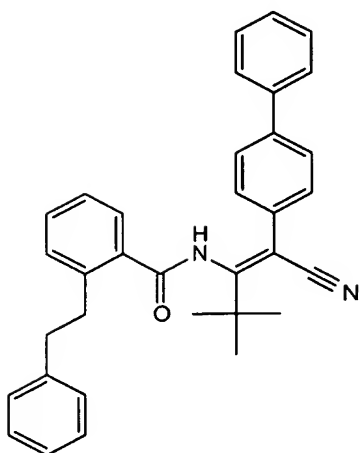


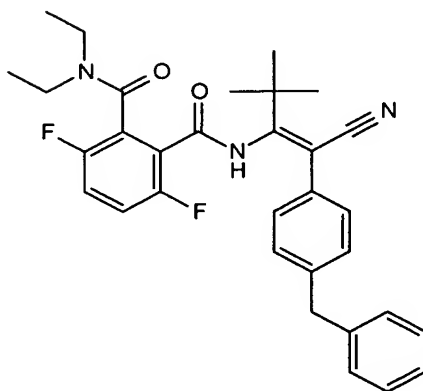
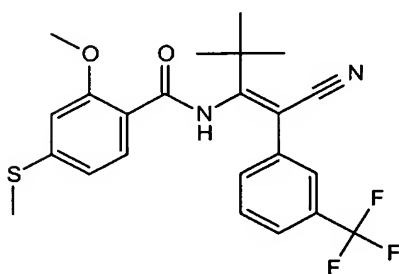
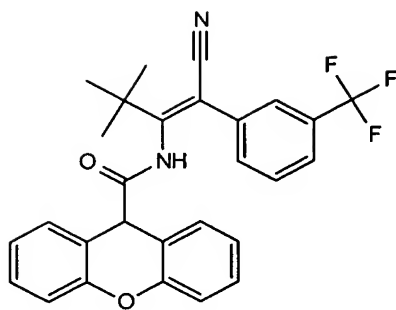


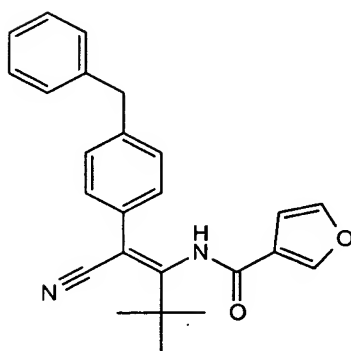
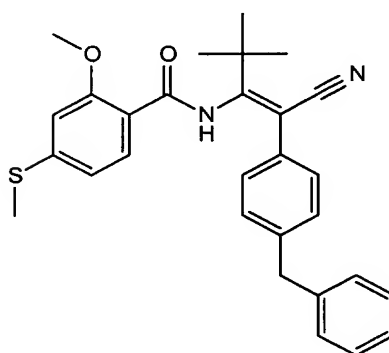
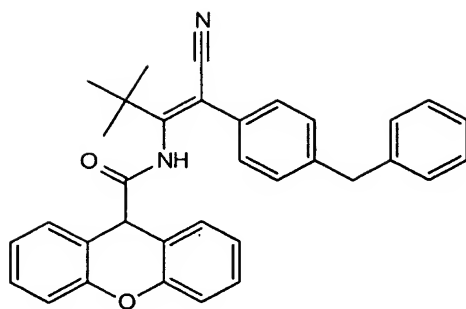


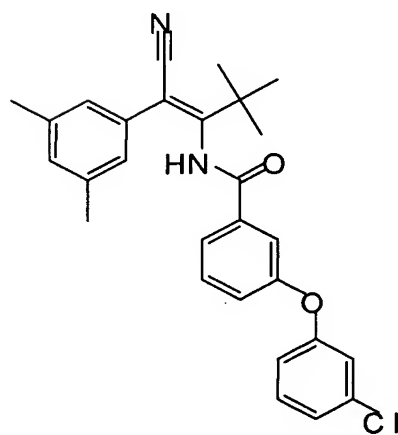
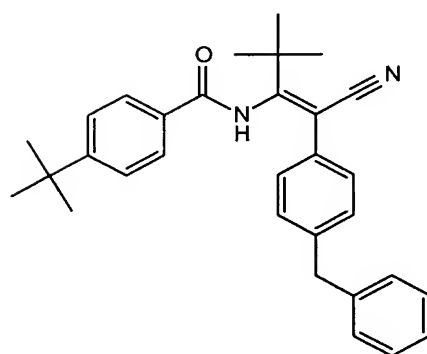
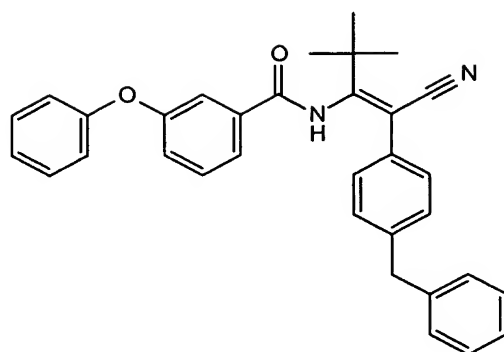


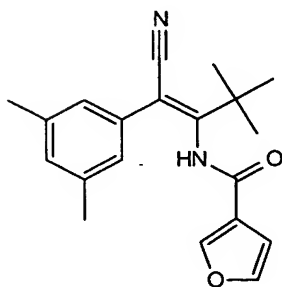
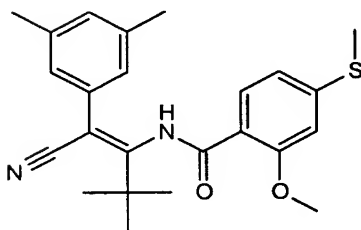
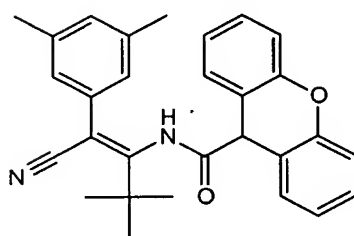
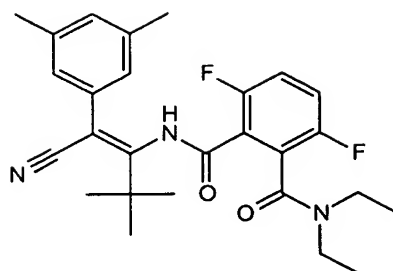


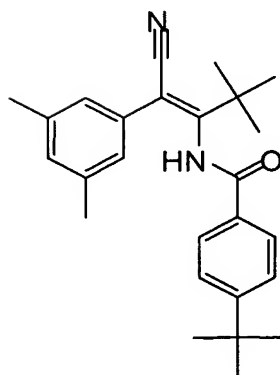
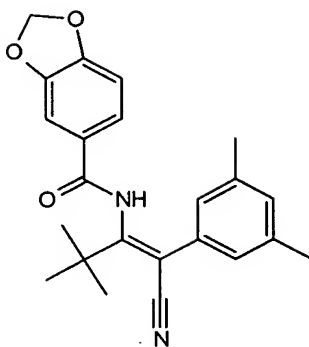
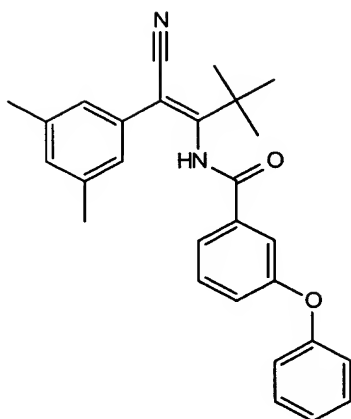




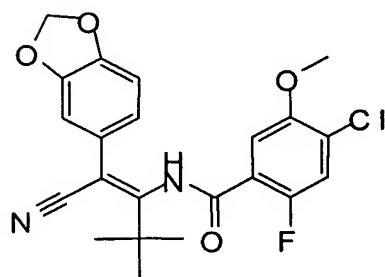
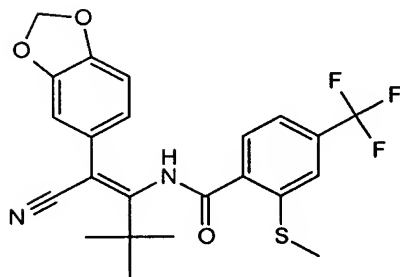
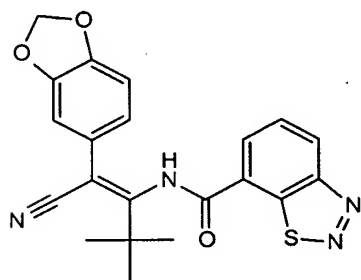
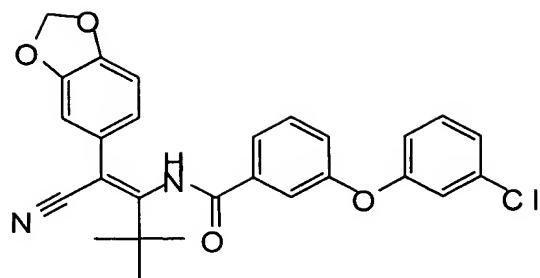


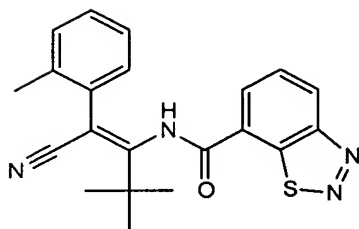
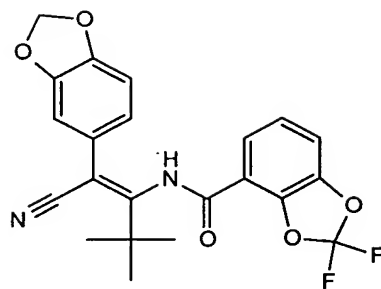


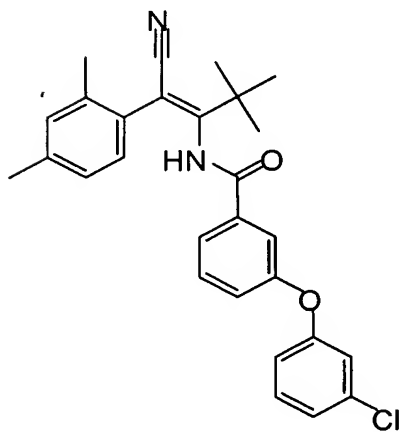
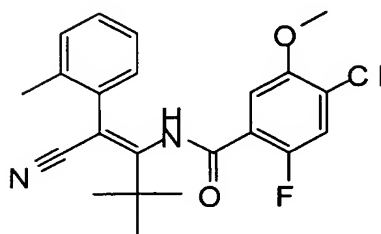
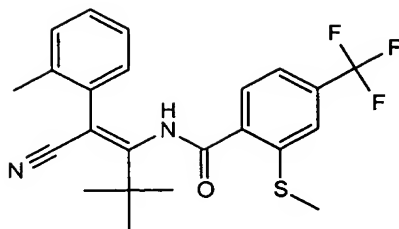


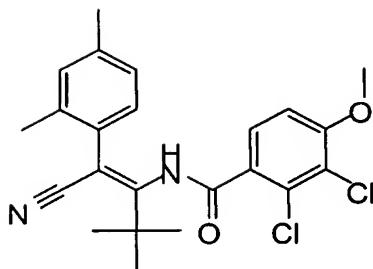
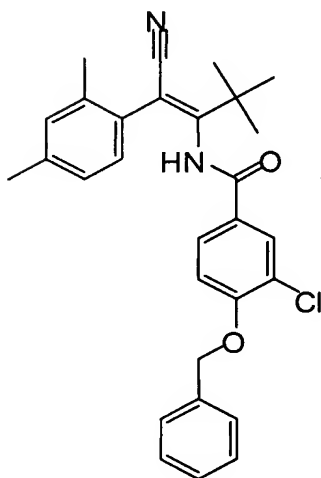
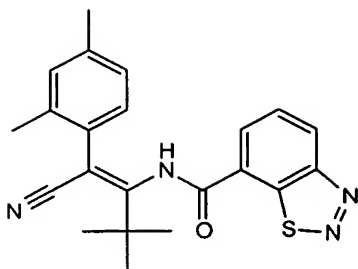


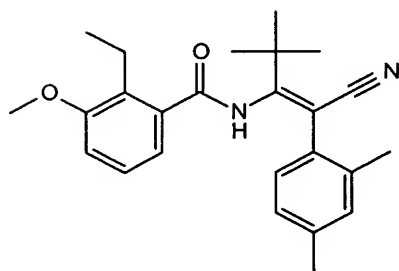
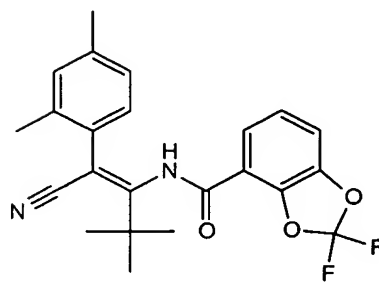
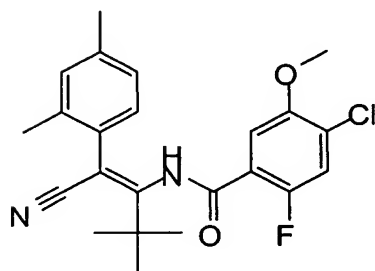
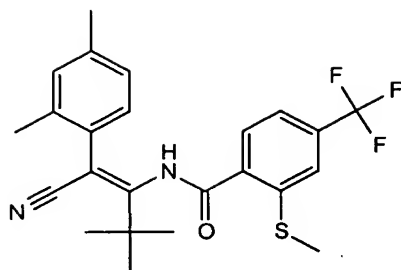
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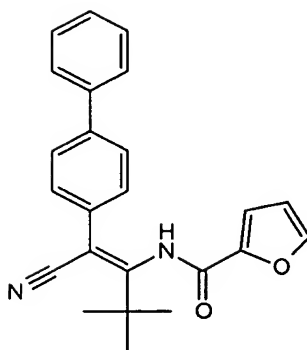
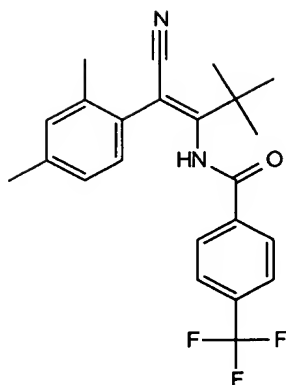


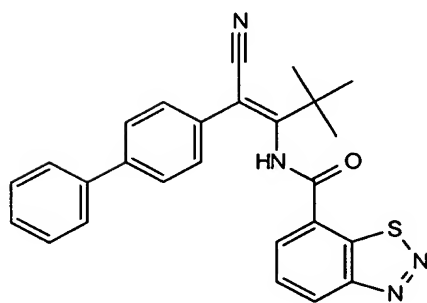
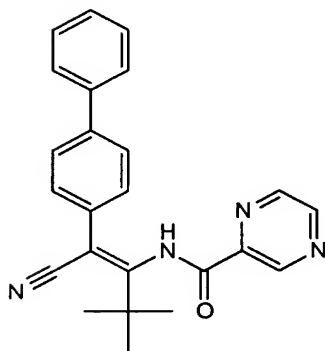
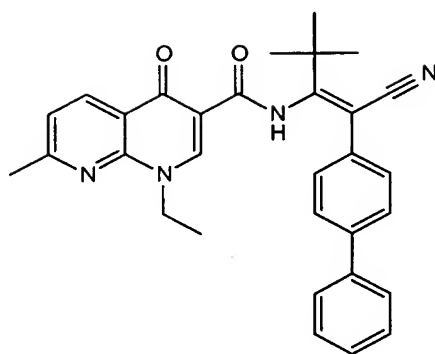


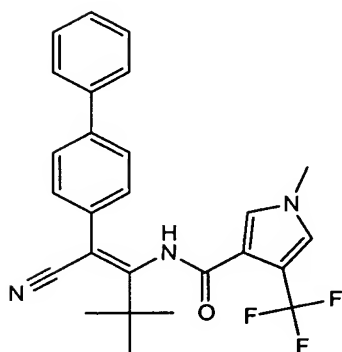
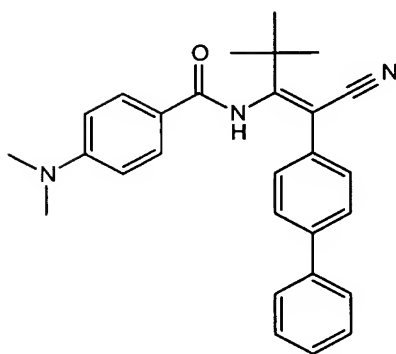
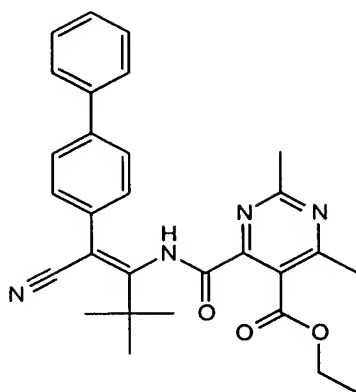




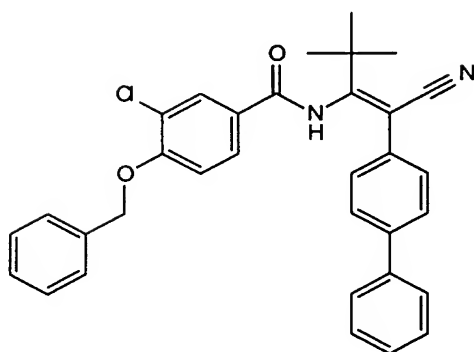
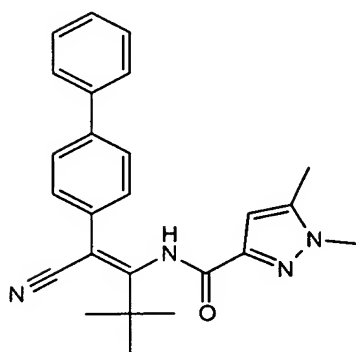
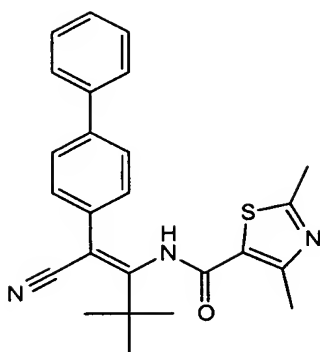
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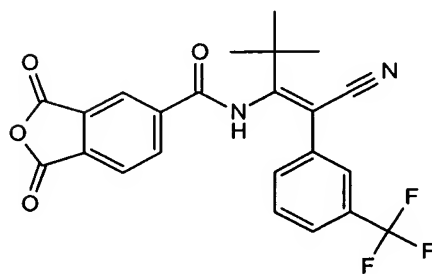
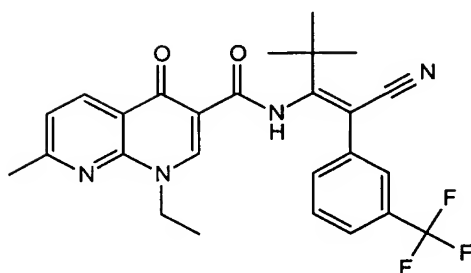
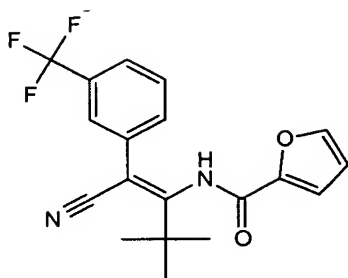


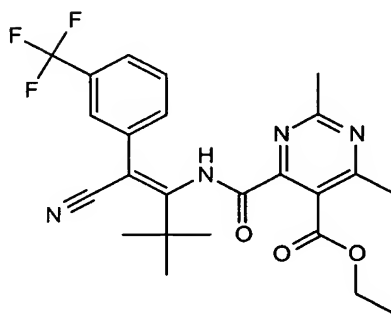
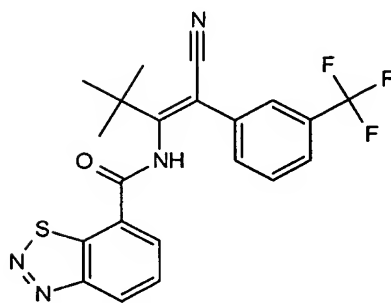
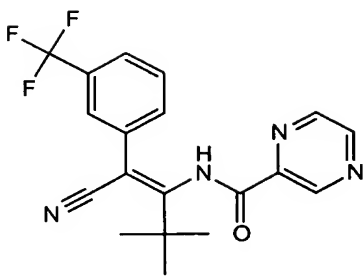


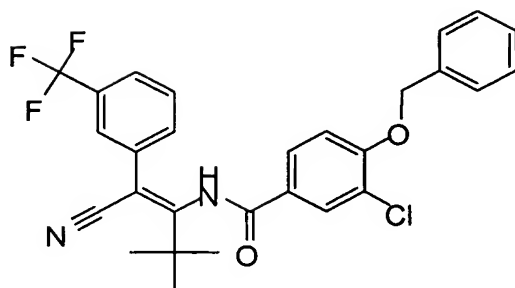
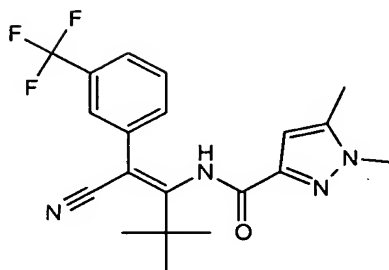
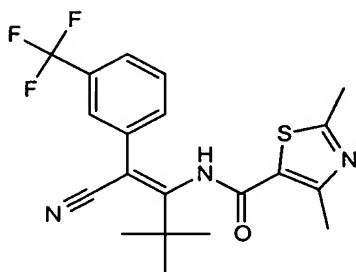
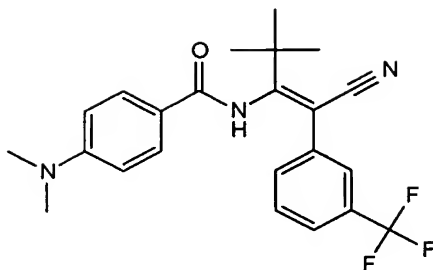


185

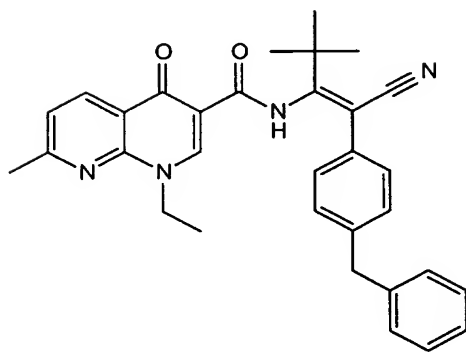
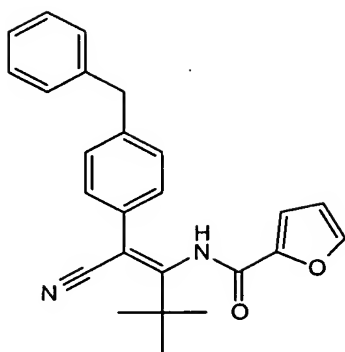


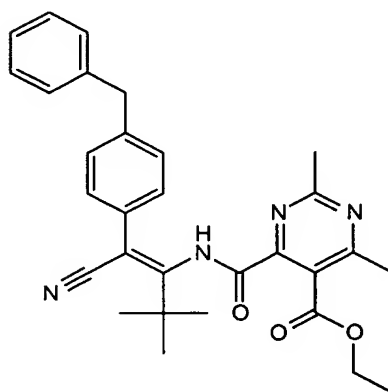
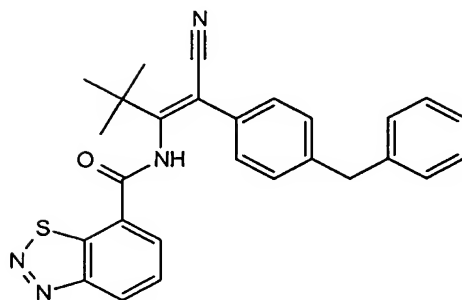
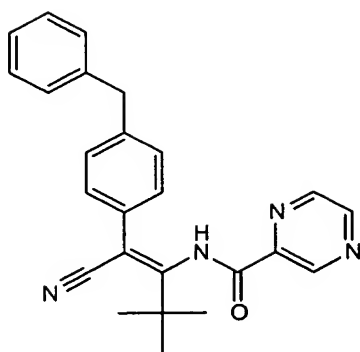




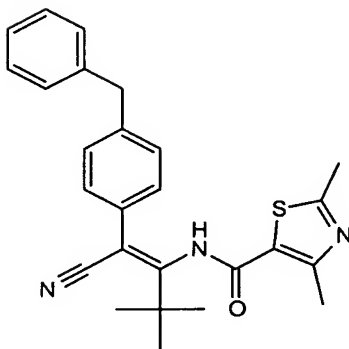
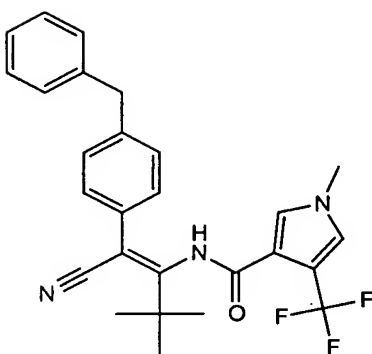
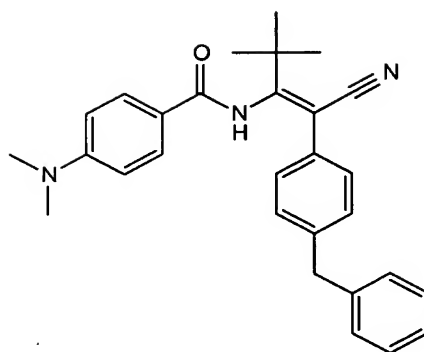


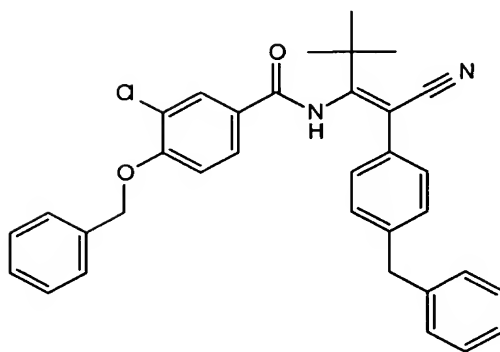
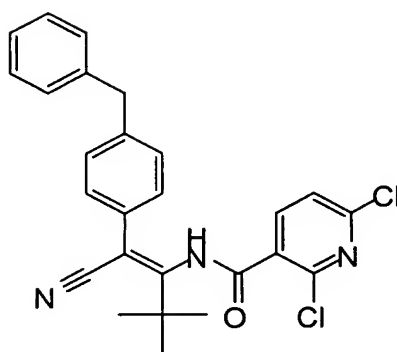
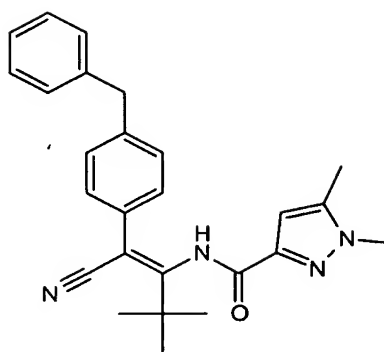
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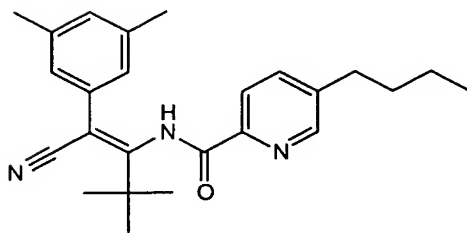
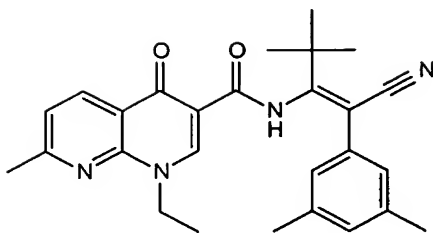
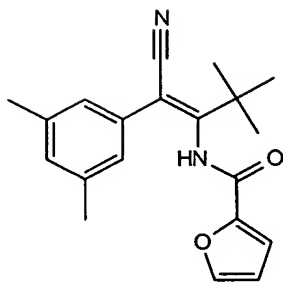


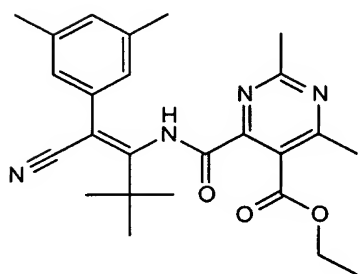
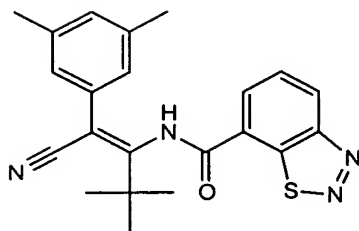
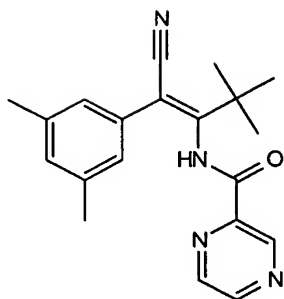


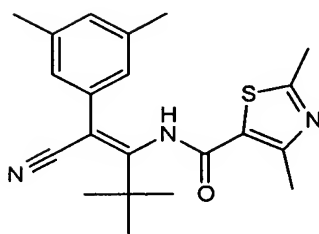
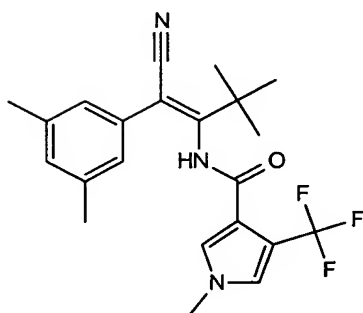
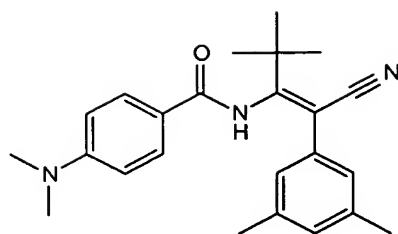
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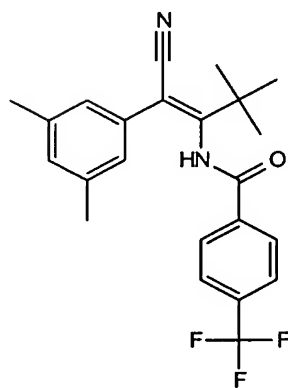
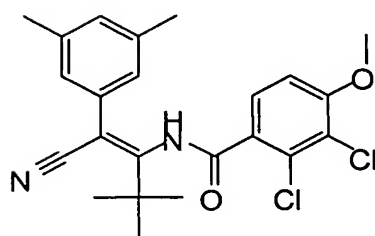
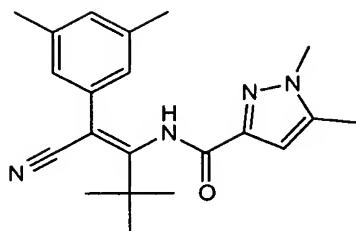




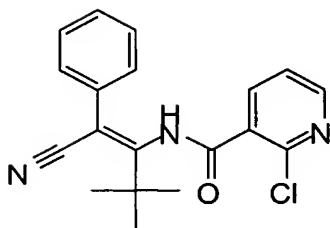
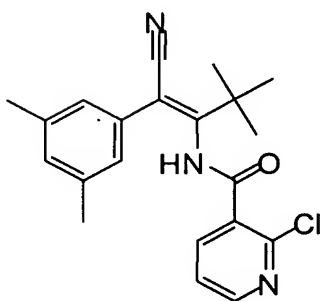
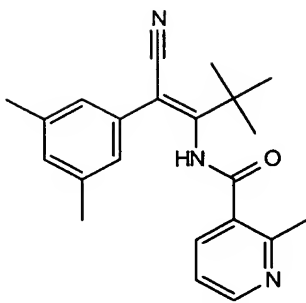


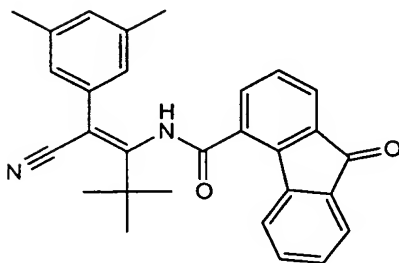
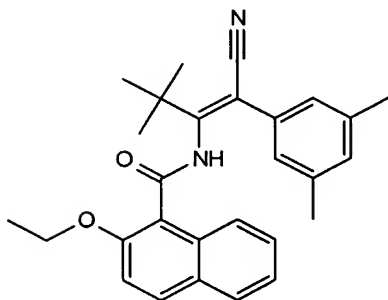
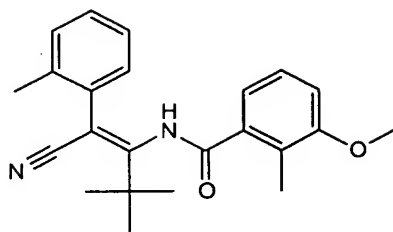


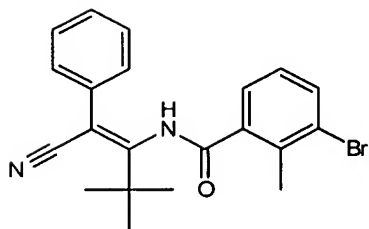
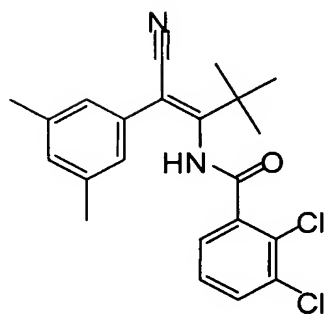
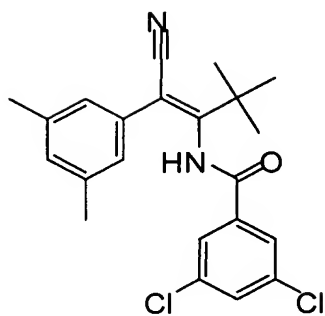


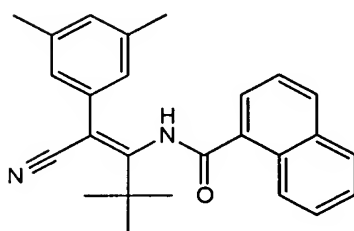
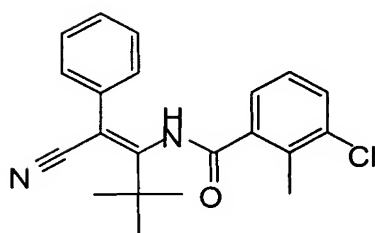
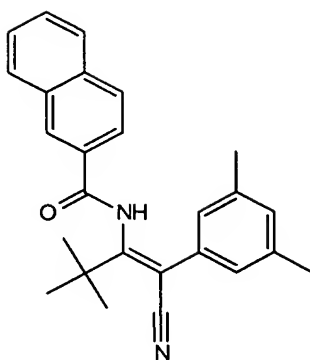


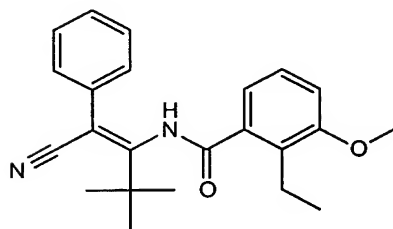
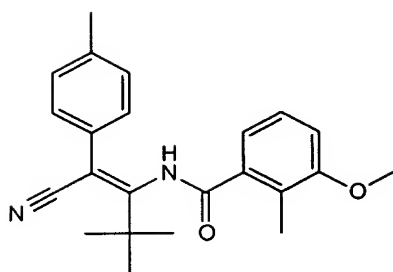
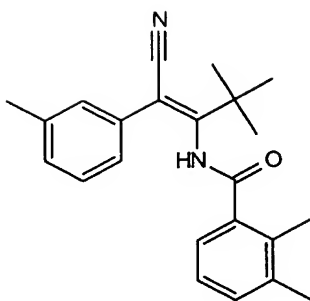
197



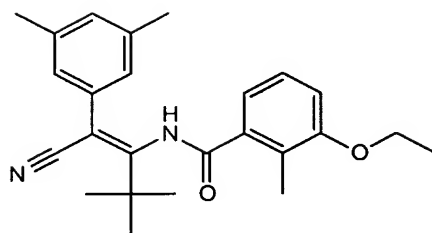
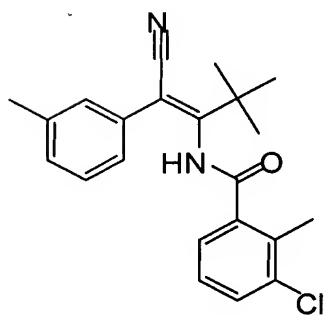
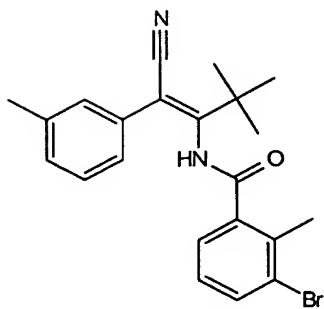


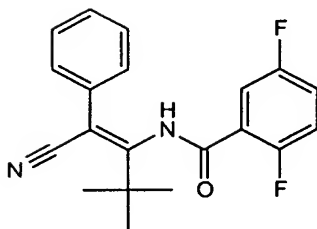
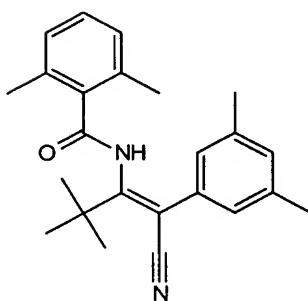
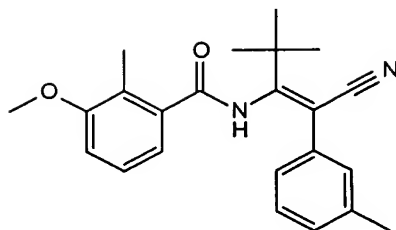


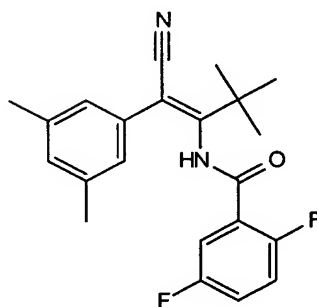
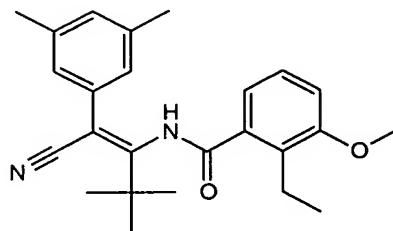
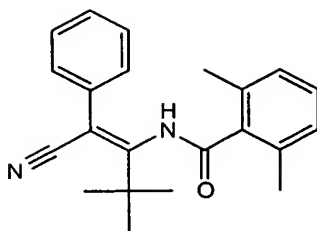




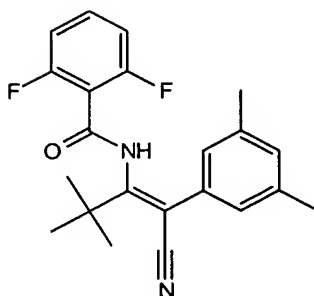
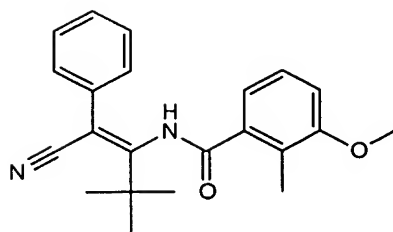
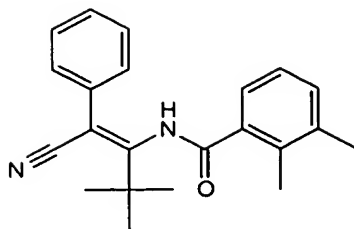
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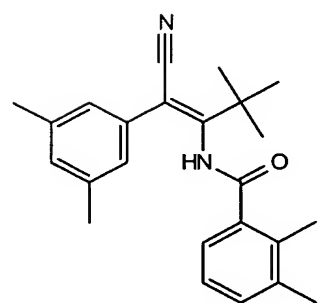
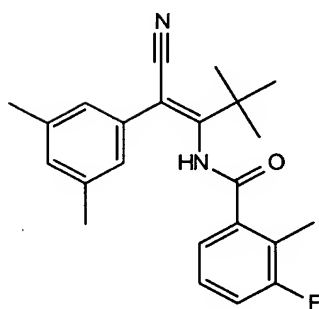
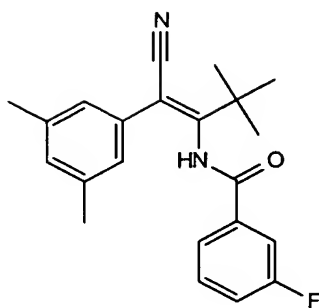




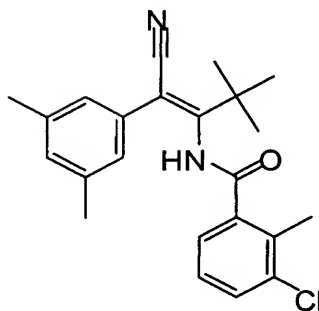
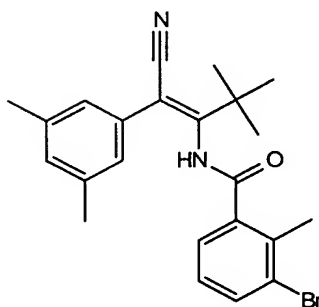
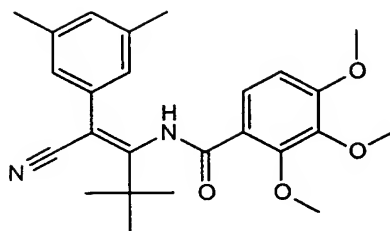
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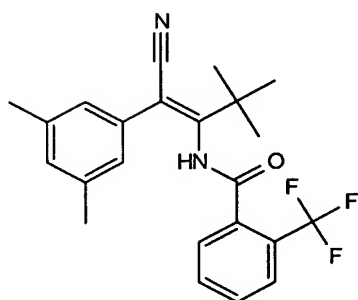
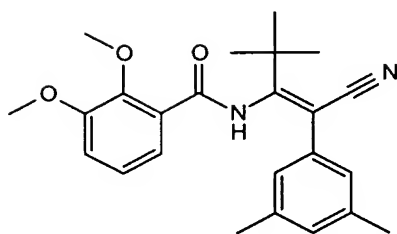
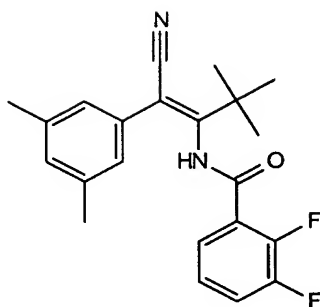


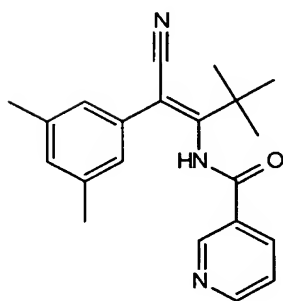
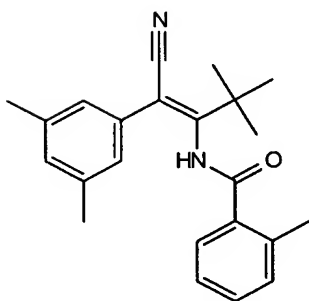
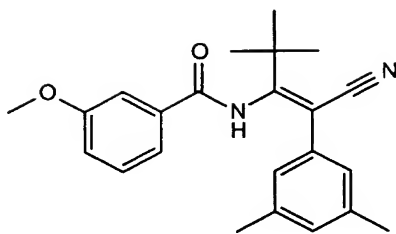
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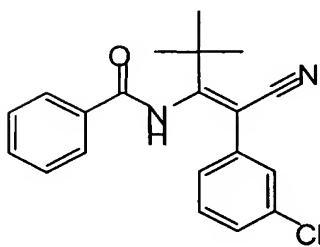
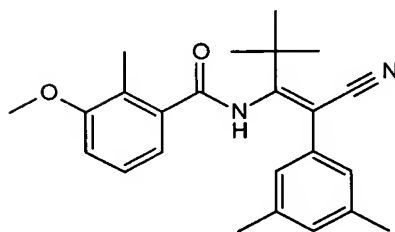
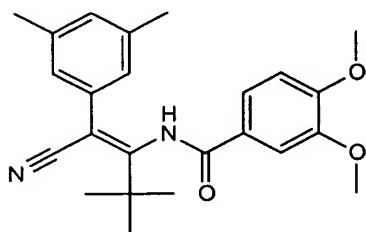
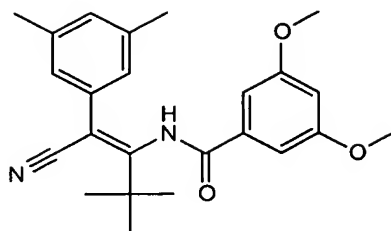


Chemical structure 1: A 3,5-dimethylphenyl group is attached to a carbon atom that is also bonded to a nitrile group (C≡N) and a nitrogen atom. The nitrogen atom is part of a tert-butyl amide group (-NH-C(=O)-C(CH₃)₃). The nitrogen atom is also bonded to a 4-fluorophenyl group.









Chemical structure 1: 2-cyano-2-(3,5-dimethylphenyl)-N-(3,5-dimethoxyphenyl)propanamide
Chemical structure 2: 2-cyano-2-(3,5-dimethylphenyl)-N-(3,4-dimethoxyphenyl)propanamide
Chemical structure 3: 2-cyano-2-(3,5-dimethylphenyl)-N-(3-methoxyphenyl)propanamide
Chemical structure 4: 2-cyano-2-(4-chlorophenyl)-N-benzoylpropanamide

